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NEWS	2	NOV	21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS	3	NOV	26	MARPAT enhanced with FSORT command
NEWS	4	NOV		CHEMSAFE now available on STN Easy
	-			
NEWS	5	NOV		Two new SET commands increase convenience of STN searching
NEWS	6	DEC	01	ChemPort single article sales feature unavailable
NEWS	7	DEC	12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS	8	DEC	17	Fifty-one pharmaceutical ingredients added to PS
NEWS	9	JAN		The retention policy for unread STNmail messages
NEWS	-	JAN		will change in 2009 for STN-Columbus and STN-Tokyo WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
				Classification Data
NEWS	11	FEB	02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS	12	FEB	02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS	13	FEB	06	Patent sequence location (PSL) data added to USGENE
NEWS	1.4	FEB	10	COMPENDEX reloaded and enhanced
NEWS		FEB		WTEXTILES reloaded and enhanced
NEWS	16	FEB	19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS	17	FEB	19	Increase the precision of your patent queries use terms from the IPC Thesaurus, Version 2009.01
NEWS	18	FEB	23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	19	FEB	23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS	21	FEB	23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS	22	FEB	25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS	23	MAR	06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS	24	MAR	11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS	25	MAR	11	ESBIOBASE reloaded and enhanced
NEWS	26	MAR	20	CAS databases on STN enhanced with new super role

for nanomaterial substances

NEWS 27 MAR 23 CA/Caplus enhanced with more than 250,000 patent equivalents from China

NEWS 28 MAR 30 IMSPATENTS reloaded and enhanced

NEWS 29 APR 03 CAS coverage of exemplified prophetic substances enhanced

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ring nodes: 1 2 3 4 5 6 8 10 11 20
chain bonds: 4-17 5-7 7-8 10-11 11-12 12-13 12-14 17-19
ring bonds: 1-2 1-6 2-3 3-4 4-5 5-6 8-20 10-20
exact/norm bonds: 4-17 5-7 7-8 8-20 10-11 10-20 12-13 12-14 17-19
exact bonds: 11-12
normalized bonds: 1-2 1-6 2-3 3-4 4-5 5-6
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G1:C,O,S

G2:0,S

G3:Cb,Cy,Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 17:CLASS 19:CLASS 20:CLASS

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L1 STR

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=> s L1 SSS full REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

FULL SEARCH INITIATED 08:14:39 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 41318 TO ITERATE

100.0% PROCESSED 41318 ITERATIONS SEARCH TIME: 00.00.01

0 ANSWERS

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L3 0.1.2

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FILE CONTENT: 1961-PRESENT VOL 150 ISS 13 (20090403/ED)

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MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20090048322 19 FEB 2009 DE 102007039155 19 FEB 2009 EP 2022798 11 FEB 2009 JP 2009035500 19 FEB 2009 WO 2009024087 26 FEB 2009 GB 2451715 11 FEB 2009 FR 2920023 20 FEB 2009 RU 2346937 20 FEB 2009 CA 2618420 24 JAN 2009

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=> s L1 SSS full

FULL SEARCH INITIATED 08:14:50 FILE 'MARPAT' FULL SCREEN SEARCH COMPLETED - 80459 TO ITERATE

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100.0% PROCESSED 80459 ITERATIONS (2 INCOMPLETE) 97 ANSWERS

SEARCH TIME: 00.01.33

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=> s 14 L5 97 L4

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39 L5 AND PY<=2003

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YOU HAVE REQUESTED DATA FROM 39 ANSWERS - CONTINUE? Y/(N):v

L6 ANSWER 1 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:892617 CAPLUS Full-text

DOCUMENT NUMBER: 139:358786

TITLE: Treatment of diabetes and diabetic complications with sodium-hydrogen exchanger type 1 (NHE-1) inhibitors

INVENTOR(S): Tracev, Wavne Ross; Treadway, Judith Lee

Pfizer Products Inc., USA PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 73 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. WO 2003092694 A1 20031113 WO 2003-IB1639 20030422 <--W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20031113 CA 2003-2483927 20030422 <--20031117 AU 2003-219421 20030422 <--CA 2483927 A1 AU 2003219421 A1 EP 1499317 A1 20050126 EP 2003-715232 20030422 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK A BR 2003009707 20050209 BR 2003-9707 20030422 MX 2004008646 MX 2004-8646 A 20041206 20040906 US 2002-380028P P 20020502 WO 2003-IB1639 W 20030422 PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 139:358786

The invention provides methods for treating or preventing type 2 diabetes, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic microangiopathy, diabetic macroangiopathy diabetic ischemia-reperfusion injury, diabetic cardiac ischemia-reperfusion injury, diabetic cardiac ischemia-reperfusion injury and/or insulin resistance syndrome (IRS) in mammals, particularly in humans, by administering a sodium-hydrogen exchanger type 1 (NHE-1) inhibitor or a pharmaceutical composition containing such an inhibitor. The invention also provides combinations comprising NHE-1 inhibitors and a second pharmaceutical agent, the combinations being useful in treating type 2 diabetes, IRS, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic ischemia-reperfusion injury, diabetic macroangiopathy and/or diabetic macroangiopathy.

repertusion injury, diabetic microanglopathy and/or diabetic macroanglopath
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:892447 CAPLUS Full-text

DOCUMENT NUMBER: 139:358784

TITLE: Treatment of diabetes and diabetic complications with

NHE-1 inhibitors

INVENTOR(S): Tracey, W. Ross; Treadway, Judith L.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 27 pp.

CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

LANGUAGE: Er FAMILY ACC. NUM. COUNT: 2

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|------|----------|-----------------|------------|
| | | | | |
| US 20030212104 | A1 | 20031113 | IIS 2003-428521 | 20030501 < |

US 2002-380028P P 20020502

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 139:358784 This invention relates to methods of treating or preventing type 2 diabetes, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic microangiopathy, diabetic macroangiopathy, diabetic ischemia reperfusion injury, diabetic cardiac ischemia reperfusion injury and/or insulin resistance syndrome (IRS) in mammals, particularly in humans, by administering a sodium-hydrogen exchanger type 1 (NHE-1) inhibitor or a pharmaceutical composition containing such an inhibitor. This invention also relates to combinations comprising NHE-1 inhibitors and a second pharmaceutical agent, said combinations being useful in treating type 2 diabetes, IRS, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic ischemia reperfusion injury,

diabetic cardiac ischemia reperfusion injury, diabetic microangiopathy and/or

L6 ANSWER 3 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:796371 CAPLUS Full-text

DOCUMENT NUMBER: 139:307685

diabetic macroangiopathy.

TITLE: Preparation of sulfonyl aryl or heteroaryl hydroxamic acid compounds as matrix metalloprotease inhibitors INVENTOR(S): Bedell, Louis J.; Mcdonald, Joseph J.; Barta, Thomas E.; Becker, Daniel P.; Rao, Shashidhar N.; Freskos,

John N.; Mischke, Brent V.; Getman, Daniel P.; Decrescenzo, Garv A.; Villamil, Clara I.

G.D. Searle and Co., USA PATENT ASSIGNEE(S):

SOURCE: U.S. Pat. Appl. Publ., 200 pp., Cont.-in-part of U.S.

Ser. No. 230,209. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 11

| | PATENT NO. | | | | | KIND DATE | | | | APPLICATION NO. | | | | | | | | | |
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| | | 2003 | | | | | | 2003 | 1009 | | | 2000- | | | | | 0001 | 201 | < |
| | US | 6794 | 511 | | | B2 | | 2004 | 0921 | | | | | | | | | | |
| | WO | 9838 | 859 | | | A1 | | 1998 | 0911 | | WO : | 1998- | US43 | 00 | | 1 | 9980 | 304 | < |
| | | W: | AL, | AU, | BA, | BB, | BG, | BR, | CA, | CN, | CU, | CZ, | EE, | GE, | GH, | GW, | HU, | ID, | |
| | | | IL, | IS, | JP, | KP, | KR, | LC, | LK, | LR, | LT. | LV, | MG, | MK, | MN, | MX, | NO, | NZ, | |
| | | | PL, | RO, | SG, | SI, | SK, | SL, | TR, | TT, | UA. | US, | UZ, | VN, | YU, | AM, | AZ, | BY, | |
| | | | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | | | | | |
| | | RW: | GH, | GM, | KE, | LS, | MW. | SD, | SZ, | UG, | ZW. | AT, | BE, | CH, | DE, | DK, | ES, | FI, | |
| | | | FR. | GB, | GR, | IE, | IT, | LU, | MC. | NL, | PT. | SE, | BF, | ВJ, | CF. | CG, | CI, | CM, | |
| | | | | | | | | | TD, | | | | | | | | | | |
| | US | 2001 | 0020 | 021 | | A1 | | 2001 | 0906 | | US : | 1999- | 2302 | 09 | | 1 | 9990 | 624 | < |
| | US | 6380 | 258 | | | B2 | | 2002 | 0430 | | | | | | | | | | |
| | US | 2003 | 0073 | 845 | | A1 | | 2003 | 0417 | | US : | 2001- | 9092 | 27 | | 2 | 0010 | 719 | < |
| | | 6696 | | | | | | | | | | | | | | | | | |
| | | 2005 | | | | | | | | | us : | 2004- | 8673 | 91 | | 2 | 0040 | 614 | |
| PRIOR | | | | | | | | | | | | 1998- | | | | | | | |
| | | | | | | | | | | | | 1999- | | | | | 9990 | | |
| | | | | | | | | | | | | 1999- | | | | | 9990 | | |
| | | | | | | | | | | | | 1997- | | | | | 9970 | | |
| | | | | | | | | | | | | 2000- | | | | | 0000 | | |
| | | | | | | | | | | | | 2000- | | | | | 0001 | | |
| OTHER | 9 90 | TIRCE | (8). | | | MARI | РДТ | 139. | 3076 | | 00 . | .000 | 1201 | 00 | | | 0001 | -01 | |

$$\underset{R5}{\overset{R2 \ R3}{\underset{m}{\underset{(X)}{\underset{n}{\underbrace{\times}}}}}} \underset{n}{\overset{O}{\underset{n}{\underset{n}{\underbrace{\times}}}}} \underset{R1}{\overset{O}{\underset{n}{\underset{n}{\underbrace{\times}}}}}$$

AB The title compds. [I; m, n = 0 or 1 and the sum of m + n is 0 or 1; the ring structure W is a 5- or 6-membered aromatic or heteroarom, ring; X = CH2 or (un) substituted NH2; R1 = (i) a substituent containing a 5- or 6-membered cyclohydrocarbyl, heterocyclyl, aryl or heteroaryl radical bonded directly to the depicted SO2 group or (ii) (un)substituted; R2, R3 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, 0- or S-(un)substituted hydroxyalkyl or mercaptoalkyl, hydroxy, thiol, haloalkyl, N-(un)substituted amino, aminoalkyl, aminoalkanoylaminoalkyl, aminoalkoxy, or aminoalkoxyalkyl, heterocyclyl, heterocyclylalkyl, heterocyclyloxy, heterocyclylthio, heteroaryl, heteroarylalkyl, heteroaryloxy, heteroarylthio; or CR2R3 together forms an (un) substituted 4- to 8-membered carbocyclic or heterocyclic ring, that is preferably a 5- or 6-membered ring; R5, R6 = H, alkyl, cycloalkyl, acylalkyl, halo, NO2, HO, cvano, alkoxy, haloalkyl, haloalkoxy, hydroxyalkyl, N-(un) substituted aminoalkyl or aminoalkoxy, thiol, alkylthio, arylthio, cycloalkylthio, cycloalkoxy, alkoxyalkoxy, perfluoroalkyl, haloalkyl, heterocyclyloxy; or R5 and R6 together with the atoms to which they are bonded form a further aliphatic or aromatic carbocyclic or heterocyclic ring having 5- to 7-members; R20 = each (un)substituted OH, NHOH, or NH2] or pharmaceutically acceptable salts thereof are prepared Also disclosed is a treatment process that comprises administering a contemplated sulfonyl aromatic or heteroarom. ring hydroxamic acid compound in a matrix metalloprotease (MMP) enzyme-inhibiting effective amount to a host having a condition associated with pathol. MMP activity. Thus, thioetherification of 4-phenoxybenzenethiol with 2-fluorobenzaldehyde in the presence of K2CO3 in isopropanol under reflux for 20 h gave 2-(4-phenoxyphenylthio)benzaldehyde which was condensed with tetra-Et dimethylaminomethylenediphosphonate in the presence of NaH in THF at room temperature for 4 h gave to 2-[2-(4phenoxyphenylthio)phenyl]acetic acid (II). II was oxidized by H2O2 in acetic acid to 2-[2-(4-phenoxyphenylsulfonyl)phenyl]acetic acid which was condensed with O-tetrahydropyranylhydroxylamine using 1-ethyl-3-(3dimethylaminopropyl)carbodiimide hydrochloride in MeCN followed by treatment with p-toluenesulfonic acid in methanol at room temperature for 2 h to give Nhydroxy-2-[2-(4- phenoxyphenylsulfonyl)phenyl]acetamide (III). III and N-

piperidinyl]sulfonyl]benzamide showed IC50 of >10,000 nM against MMP-1, 0.3 and 2.4 nM, resp., against MMP-2, and 2 and 2.7 nM, resp., against MMP-13.

REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

hydroxy-2,3-dimethoxy-6-[[4-[4-(trifluoromethyl)phenoxy]-1-

L6 ANSWER 4 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NOMBER: 2003:591152 CAPLUS Full-text DOCUMENT NUMBER: 139:14959a

TITLE: Preparatio

Preparation of 7-sulfonyl-3-benzazepine derivatives as modulators of the dopamine receptor for use in pharmaceutical compositions for the treatment of central nervous system (CNS) disorders Ahmed, Mahmood; Bromidge, Steven Mark; Forbes, Ian Thomson; Gribble, Andrew Derrick; Johnson, Christopher

INVENTOR(S):

Norbert; King, Francis David; Lightfoot, Andrew P.; Macdonald, Gregor James; Moss, Stephen Frederick; Thompson, Mervyn; Witty, David R.

PATENT ASSIGNEE(S): SOURCE:

Smithkline Beecham P.L.C., UK PCT Int. Appl., 40 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Pat.ent. English

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PATENT NO. | | | | | | KIND DATE | | | | | | | | | | | |
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| | | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | |
| | | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | SI, | SK, | TR, | BF, | BJ, | |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | |
| EP 1 | 1456 | 178 | | | A1 | | 2004 | 0915 | | EP 2 | 002- | 7967. | 52 | | 2 | 0021 | 220 | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | SK | | | |
| JP 2 | 2005 | 5184 | 14 | | T | | 2005 | 0623 | | JP 2 | 003- | 5620 | 87 | | 2 | 0021 | 220 | |
| US 2 | 2005 | 0176 | 759 | | A1 | | 2005 | 0811 | 1 | US 2 | 004- | 4997 | 76 | | 2 | 0040 | 621 | |
| PRIORITY | APP: | LN. | INFO | . : | | | | | | GB 2 | 001- | 3070 | 2 | | A 2 | 0011 | 221 | |
| | | | | | | | | | | GB 2 | 002- | 1239 | 8 | | A 2 | 0020 | 529 | |
| | | | | | | | | | 1 | WO 2 | 002-1 | EP14 | 824 | 1 | W 2 | 0021 | 220 | |

OTHER SOURCE(S): MARPAT 139:149539

Sulfonvlbenzazepines, such as I [R = arvl, biarvl; R1 = H, alkvl; R2 = H, OH, AB CN, NO2 CF3, OCF3, alkyl, alkyoxy, alkanoyl, cycloalkyl, alkylsulfonyl, alkylthio, carbamoyl, sulfamoyl, etc.], were prepared for therapeutic use modulating dopamine receptors. These benzazepines are useful for the treatment or prophylaxis of CNS or psychotic disorders, such as depression, anxiety, Alzheimer's disease, age related cognitive decline, ADHD, obesity, mild cognitive impairment, schizophrenia, Parkinson's disease, substance abuse, dyskinetic disorders, bipolar disorder, sexual dysfunction, sleep disorders, emesis, movement disorders, obsessive-compulsive disorders, amnesia, aggression, autism, vertigo, dementia and circadian rhythm disorders. Thus benzazepine derivative II was prepared by reaction of 2,3,4,5-tetrahydro-3-(trifluoroacetyl)-1H-3- benzazepine-7-sulfonyl fluoride with 2-methyl-5bromoaniline using t-BuLi in THF. The prepared benzazepines were tested for receptor binding activity for dopamine D2 and D3, 5-hydroxytryptamine 5-HT6,

5-HT2A, and 5-HT2C cloned human receptors and showed selectivity for the D2/D3 receptors.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:473266 CAPLUS Full-text

DOCUMENT NUMBER: 139:30862

TITLE: Use of retinoid receptor antagonists or agonists in the treatment of cartilage and bone pathologies

INVENTOR(S): Pacifici, Maurizio; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S.

Ser. No. 464,344. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3 PATENT INFORMATION:

| | PATENT NO.

US 20030114482 | | | | | | | | | | | | | | DATE | | | |
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| WO | 2001 | 0808 | 94 | | A3 | | 2002 | 0725 | | | | | | | | | | |
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| EP | 1274 | 456 | | | A2 | | 2003 | 0115 | | EP 2 | 2001- | 9286 | 54 | | 2 | 0010 | 419 | < |
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| ORIT | APP | LN. | INFO | .: | | | | US 1999-464344 | | | | | | | | | | |
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OTHER SOURCE(S): MARPAT 139:30862

3 The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis or osteoporosis, comprising administering therapeutically effective amts. of retinoid receptor antagonists or retinoid receptor agonists. ACCESSION NUMBER: 2003:319918 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 133:338316

TITLE: Preparation of pelorol derivatives as SHIP 1

modulators

INVENTOR(S): Andersen, Raymond; Williams, David E.; Mui, Alice;

Ong, Christopher; Krystal, Gerald

PATENT ASSIGNEE(S): The University of British Columbia, Can.

SOURCE: PCT Int. Appl., 26 pp.

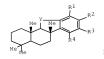
CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PAT | PATENT NO. | | | | KIND DATE | | | APPLICATION NO. | | | | | | | | | | |
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| WO | 2003 | 0335 | 17 | | | | 2003 | | | | | | | | | 0021 | 017 < | |
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| | | LS. | LT. | LU. | LV. | MA. | MD, | MG. | MK. | MN. | MW. | MX. | MZ. | NO. | NZ. | OM. | PH. | |
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| | | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR. | NE, | SN, | TD, | TG | | | | |
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| WO | 2004 | 0356 | 01 | | A1 | | 2004 | 0429 | | WO 2 | 003- | CA57 | 1 | | | 0030 | | |
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| | | FI, | FR, | GB, | GR, | HU, | IE, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, | |
| | | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | |
| AU | 2003 | 2188 | 43 | | A1 | | 2004 | 0504 | | AU 2 | 003- | 2188 | 43 | | 2 | 0030 | 423 | |
| EP | 1554 | 304 | | | A1 | | 2005 | 0720 | | EP 2 | 003- | 7145 | 89 | | 2 | 0030 | 423 | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
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| | | | | | | | | | | WO 2 | 002- | CA15 | 50 | | W 2 | 0021 | 017 | |
| | | | | | | | | | | WO 2 | 003- | CA57 | 1 | | W 2 | 0030 | 423 | |
| | | | | | | | | US 2004-825858 | | | | | A3 20040416 | | | | | |
| ER SO | R SOURCE(S): | | | MARPAT 138:338316 | | | | 16 | | | | | | | | | | |



AB The present invention includes the use of pelorol and related sesquiterpene compds., e.g. of formula I [Y = CH2, CH2CH2; R1-R4 = H, OH, alkoxy, alkoxycarbonyl, CH2OH, etc.], as modulators of SHIP 1 activity. This invention also provides novel sesquiterpene compds. capable of modulating SHIP 1 activity and methods of synthesis thereof. No examples are given. The effect of pelorol on macrophage nitric oxide production is measured.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:282399 CAPLUS Full-text

DOCUMENT NUMBER: 138:304302

TITLE: Preparation of amidine-substituted polycyclic compound prodrugs useful for selective inhibition of serine

proteases of the coagulation cascade

INVENTOR(S): South, Michael S.; Webber, Ronald K.; Huang,

Horng-chih; Toth, Mihaly V.; Moormann, Alan E.; Snyder, Jeffrey S.; Scholten, Jeffrey A.; Garland, Danny J.; Rueppel, Melvin L.; Neumann, William L.;

Long, Scott; Wei, Huang; Trujillo, John; Parlow, John J.; Jones, Darin E.; Case, Brenda; Hayes, Michael J.;

Zeng, Qingping
PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 547 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | ATENT NO. | | | KIND DATE | | | APPLICATION NO. | | | | | | | | | | | |
|----------------|---------------|------|-----|-----------|-----|------|-----------------|----------------|------------------|------|------|------|------------|-----|------------|------|--------|---|
| WO | 2003 | 0287 | 29 | | A2 | | 2003 | 0410 | | WO 2 | | | | | | | 003 <- | - |
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                                                             W 20021003
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

MARPAT 138:304302

OTHER SOURCE(S):

AB The present invention relates to prodrug compds, comprising a 5- or 6membered heterocyclic or aromatic ring substituted with a derivatized amidine
(shown as I and II; variables defined below; e.g. N-[4-[(Z)-amino](pyridin-2ylmethoxy) imino]methyl]benzyl]-2-[6-[3-amino-5- (trifluoromethyl)phenyl]-3(isopropylamino)-2-oxopyrazin-1(2N) -yl]acetamide (shown as III)), as well as
compns. and methods useful for preventing and treating thrombotic conditions
in mammals. The prodrug compds. of the present invention selectively inhibit
certain serine proteases of the coagulation cascade (no data). For I: X = 5-

or 6-membered heterocyclic or aromatic ring, the ring atoms being X1, X2, X3, X4, and X5 for 5-membered heterocyclic rings and X1, X2, X3, X4, X5 and X6 for 6-membered heterocyclic or aromatic rings, wherein X2 is alpha to each of X1 and X3, X3 is alpha to each of X2 and X4, X4 is alpha to each of X3 and X5, X5 is alpha to X4 and alpha to X1 if X is a 5-membered ring or to X6 if X is a 6membered ring, and X6, when present, is alpha to each of X1 and X5, wherein X1, X2, X3, X4, X5 and X6 are C, N, O or S. L1, L3 and L4 are linkages through which Z1, Z3, and Z4, resp., are covalently bonded to different ring atoms of the 5- or 6-membered heterocyclic or aromatic ring of X. wherein Zl is covalently bonded to X1, Z3 is covalently bonded to X3, and Z4 is covalently bonded to X4, each of L1, L3 and L4 independently being a covalent bond or comprising ≥1 atoms through which Z1, Z3, and Z4 are covalently bonded to X1, X3 and X4, resp. Z1 is hydrocarbyl or substituted hydrocarbyl; Z3 = 5or 6-membered heterocyclic or aromatic ring substituted with a derivatized amidine which, upon hydrolysis, oxidation, reduction or elimination yields an amidine group, and optionally further substituted with a halogen or hydroxy, the ring atoms of the 5- or 6-membered heterocyclic or aromatic ring of Z3 being C, S, N, or O. Z4 = 5- or 6-membered heterocyclic or carbocyclic ring having two substituents, R42 and R44, and two ring atoms each of which is in the beta position relative to the ring atom of Z4 through which Z4 is covalently bonded to X, wherein one of R42 and R44 is covalently bonded to one of said beta positions and the other of R42 and R44 is covalently bonded to the other of said beta positions, the ring atoms of the 5- or 6-membered heterocyclic or carbocyclic ring of Z4 being C, N, O, or S. R42 is amino; and R44 = H, hydrocarbyl, substituted hydrocarbyl, heterocyclo, halogen, or a (un) substituted heteroatom = N, O, S and P; provided, however, the derivatized amidine is other than amidine derivatized with tert-butoxycarbonyl. For II: each of X1, X2, X3, X4, X5 and X6 is C or N; X2 is a H bond acceptor; X9 is a direct bond or -(CH2)m- where m is 1 to 5. The metabolic stability and/or bioavailability of .apprx.20 examples of I/II are tabulated. Although the methods of preparation are not claimed, .apprx.160 example prepns. are included.

L6 ANSWER 8 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:150646 CAPLUS Full-text

DOCUMENT NUMBER: 138:195820

TITLE: Rinse-processing composition for processing silver halide color photographic material, processing

apparatus and processing method

INVENTOR(S): Seki, Hioyuki

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Eur. Pat. Appl., 55 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|---------|---------------|----------------------|------------|
| | | | | |
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| US 20040043340 | A1 | 20040304 | US 2002-226180 | 20020823 |
| US 7163783 | B2 | 20070116 | | |
| PRIORITY APPLN. INFO.: | | | JP 2001-253095 | A 20010823 |
| | | | US 2002-226180 | T 20020823 |
| | | | | |

OTHER SOURCE(S): MARPAT 138:195820

A rinse-processing composition of the present invention comprises a compound AB represented by R-(OC2H4)n-OH, (R = C8-13 alkyl; n = 10-30), but comprises neither aldehyde compds. nor hexamethylenetetramine derivs. The present invention relates to a processing method and a processing apparatus using such a rinse-processing composition

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN 2003:129387 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 138:164054

TITLE: Methods and compounds for the use of retinoic acid

antagonists and inverse agonists as male

anti-fertility agents

Klein, Elliott S.; Yuan, Yang-Dar; Chandraratna, INVENTOR(S):

Roshantha A.

PATENT ASSIGNEE(S): Allergan, Inc., USA

SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 405,748,

abandoned. CODEN: USXXAM

Patent

DOCUMENT TYPE:

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PRIORITY APPLN. INFO.: | | | US 1998-103507P I | 19981008 |
| | | | US 1999-405748 | 32 19990927 |
| | | | US 2000-591253 | 1 20000609 |
| | | | US 2002-304665 | 31 20021125 |

OTHER SOURCE(S): MARPAT 138:164054

AB This continuation-in-part patent claims methods and compds. for the inhibition or prevention of spermatogenesis in a male mammal. The compds. claimed are antagonists or inverse agonists inhibiting the transcriptional activation of retinoic receptors RAR α , RAR β and/or RAR γ . Methods for the use of those compds. as anti-fertility agents to reduce or eliminate spermatozoa in the semen of male mammals to prevent conception are claimed.

REFERENCE COUNT: THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS 18 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:964331 CAPLUS Full-text

DOCUMENT NUMBER: 138:28938

TITLE: Dveing composition for keratinous fibers comprising a

particular dicationic diazo dve Vidal, Laurent; David, Herve INVENTOR(S):

PATENT ASSIGNEE(S): L'oreal, Fr.

SOURCE: PCT Int. Appl., 44 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION.

| PATENT NO. | KIND | DATE | APPLICATION | NO. | DATE |
|------------|------|------|-------------|-----|------|
| | | | | | |

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                        A1 20021219 WO 2002-FR1980
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     EP 1399425
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A1 20041209 US 2004-480202
    JP 2005501134
MX 2003011339
                              20050113 JP 2003-503603
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    US 20040244123
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                        B2 20060221
     US 7001436
PRIORITY APPLN. INFO.:
                                           FR 2001-7613
WO 2002-FR1980
                                                               A 20010611
                                                              W 20020610
OTHER SOURCE(S):
                   MARPAT 138:28938
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The invention concerns a dyeing composition for dyeing keratinous fibers, in particular human keratinous fibers and more particularly hair, comprising a dicationic diazo dye as well as the dyeing method using same. Synthetic preparation of dicationic diazo dves are described.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:868719 CAPLUS Full-text

DOCUMENT NUMBER:

137:346211 TITLE: Methods of treating hyperlipidemia by using retinoids as antagonists or inverse agonist of a retinoid

receptor INVENTOR(S):

Yuan, Yang-Dar; Thacher, Scott M.; Klein, Elliot S.; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan, Inc., USA PCT Int. Appl., 56 pp.

SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

| | PATENT NO. KIND | | | | | DATE | | APPLICATION NO. | | | | | | DATE | | | |
|---------|-----------------|------------|-----|------------|------------|-------------------|------------|-----------------|-------|-------|-------|-----|-----|------|------|-----|---|
| WO 2002 | | | | A2
A3 | | 2002 | | 1 | viO 2 | 002-1 | JS13: | 253 | | 2 | 0020 | 126 | < |
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ZA, | SG, | SI, | | | | | | | | | |
| RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, | |

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     US 20020193403 A1 20021219 US 2001-848159
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AU 2002259030 A1 20021118 AU 2002-259030
EP 1392284 A2 20040303 EP 2002-729013
EP 1392284 B1 20080827
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      JP 2004532239 T 20041021 JP 2002-586918 20020426
EP 1920771 A2 20080514 EP 2007-22682 20020426
EP 1920771 A3 20080723
          R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC,
               NL, PT, SE, TR
AT 406159 T 20080915 AT 2002-729013 US 20050171151 A1 20050804 US 2004-16534 US 20080214652 A1 20080904 US 2008-72629 PRIORITY APPLN. INFO.: US 2001-848159
                                                    US 2004-16534 20041217
US 2008-72629 20080227
US 2001-848159 A 2001503
EP 2002-729013 A3 20020426
US 2004-16534 B1 20041217
OTHER SOURCE(S): MARPAT 137:346211
AB The current invention relates to methods for treating hyperlipidemia in
      mammals, including humans. More specifically, the current invention relates
      to the use of retinoid or retinoid derivative that is able to act as an
      antagonist or inverse agonist of a retinoid receptor to treat hyperlipidemia.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
                                      RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L6 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:849441 CAPLUS Full-text
DOCUMENT NUMBER:
                              137:353048
TITLE:
                              Combinations of pyridazinone aldose reductase
inhibitors and cyclooxygenase-2 inhibitors
Mylari, Banavara Lakshman
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 101 pp.
                              CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
                              English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO. KIND DATE APPLICATION NO.
                                                                                DATE
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AU 2002236131 B2 20050414 | | | | | |
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AU 2002236131 Al 20021111 AU 2002-236131 200202
AU 2002236131 B2 20050414 | | TR, | | | |
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| AU 2002236131 B2 20050414 | CA | 225 < | | | |
| | AU | 225 < | | | |
| | AU | | | | |
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| HU | 2003003 | 920 | | A3 | | 2004 | 0728 | | | | | | | | | | |
|----------|----------|-------|-----|------|-----|------|-------|-------|-----|------|-------|------|-----|----|------|-----|-----|
| EP | 1392310 | | | A1 | | 2004 | 0303 | E | 2 | 002- | 7026 | 11 | | | 2002 | 202 | 25 |
| | R: AT | , BE, | CH, | DE, | DK, | ES, | FR, | GB, C | GR, | IT, | LI, | LU, | NL, | SE | , MC | ., | PT, |
| | IE | , SI, | LT, | LV, | FΙ, | RO, | MK, | CY, F | L, | TR | | | | | | | |
| CN | 1505514 | | | A | | 2004 | 0616 | CI | 1 2 | 002- | 80903 | 37 | | | 2002 | 202 | 25 |
| JP | 2004528 | 344 | | T | | 2004 | 0916 | JI | 2 | 002- | 58492 | 29 | | | 2002 | 202 | 25 |
| NZ | 528150 | | | A | | 2005 | 0324 | N2 | 2 | 002- | 52813 | 50 | | | 2002 | 02 | 25 |
| TW | 228415 | | | В | | 2005 | 0301 | TV | 1 2 | 002- | 9110 | 4376 | | | 2002 | 203 | 8 0 |
| US | 2005000 | 4124 | | A1 | | 2005 | 0106 | US | 2 | 002- | 1374 | 72 | | | 2002 | 04 | 30 |
| ZA | 2003007 | 204 | | A | | 2004 | 0915 | ZI | . 2 | 003- | 7204 | | | | 2003 | 09 | 15 |
| US | 2004019 | 8740 | | A1 | | 2004 | 1007 | US | 2 | 004- | 8108 | 80 | | | 2004 | 103 | 25 |
| PRIORIT | Y APPLN. | INFO | . : | | | | | US | 2 | 001- | 28752 | 24P | | P | 2001 | 04 | 30 |
| | | | | | | | | WC | 2 | 002- | IB643 | 3 | | W | 2002 | 202 | 25 |
| | | | | | | | | US | 2 | 002- | 1374 | 72 | | A3 | 2002 | 04 | 30 |
| OTHER SO | OURCE(S) | : | | MARP | ΑT | 137: | 35304 | 8 | | | | | | | | | |

O AR3

AB Pharmaceutical compns. and kits comprise pyridazinones I [A = S, S(O), SO2; R1, R2 = H, Me; R3 = heterocyclic, heterocyclylalkyl, amino, CH2CH(OH)Ar, CH2COAr, arylamino, aralkyl; Ar = (un)substituted Ph, naphthyl] and cyclooxygenase-2 inhibitors for treatment or prevention of certain complications arising from diabetes mellitus and cardiac tissue ischemia in mammals (no data). Thus, 2-mercaptoindole was treated with 2-chloro-6-methoxypyridazine, followed by oxidation to the sulfone and demethylation to give 6-(indole-2-sulfonyl)-2H-pyridazin-3-one.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:793584 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 137:310696
TITLE: Preparation

Preparation of N-hydroxyphenylacetamides as peptide

deformylase inhibitors

INVENTOR(S): Bhat, Ajita; Christensen, Siegfried B., IV; Frazee, James S.; Head, Martha S.; Leber, Jack Dale; Li, Mei

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 22 pp.

SOURCE: PCT int. Appl., 22 pp CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|----------------|-------------------|------------------------|-------------|
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| WO 2002081426 | A1 20021017 | WO 2002-US10506 | 20020404 < |
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            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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    AU 2002252585
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                         A1
    EP 1383729
                         A1
                               20040128
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        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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    JP 2004527530
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    US 20040267015
                         A1
                               20041230
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PRIORITY APPLN. INFO.:
                                           US 2001-281613P
                                                               P 20010405
                                                             W 20020404
                                           WO 2002-US10506
OTHER SOURCE(S):
                       MARPAT 137:310696
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GT

PDF inhibitors I [X = CO2(C1-6-alkyl), OR1, NR1R6, CONR1R6, C(:0)R6; R1 = H, AB (un) substituted C1-6-alkyl, Ar-(C1-6-alkyl); R1R6 = 5- or 6-membered cyclic system which may contain an O or (un) substituted N; R2 = I, Br, C1, CHMe2, CMe3; R3 = H, I, Br, C1, CHMe2, CMe3, ZR8; Z = O, N, NC(:O), C(:O)N, SO2N, CONHSO2, CH2; R6 = H, Me; R8 = (un)substituted C1-4-alkv1; Ar = (un) substituted Ph, furyl, pyridyl, thienyl, thiazolyl, isothiazolyl, pyrazolyl, tetrazolyl, imidazolyl, benzofuranyl, indolyl, thiazolidinyl, isoxazolyl, oxadiazolyl, thiadiazolyl, pyrrolyl, pyrimidinyl] and novel methods for their use are provided. Thus, I (X = OC6H4OH, R2 = R3 = I) was prepared from 3,5-diiodothyroacetic acid via esterification with MeOH containing H2SO4 followed by amidation with NH2OH in aqueous dioxane. I was tested for PDF inhibition and antimicrobial activity (MIC = 0.06 - 64 mcg/mL). REFERENCE COUNT: THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:755213 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 137:279206

TITLE: Preparation of sulfenyl, sulfinyl and sulfonyl pyridazinone aldose reductase inhibitors for treating/preventing diabetic complications

INVENTOR(S): Mylari, Banavara L.

PATENT ASSIGNEE(S): USA SOURCE: U.S

SOURCE: U.S. Pat. Appl. Publ., 39 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

| | | | KIND | DATE | APPLICATION NO. DATE |
|----------|------------------------|-----|---------|----------------------------------|--|
| US | 20020143017
6579879 | | A1 | | US 2002-104664 20020321 < |
| CA | 2442476 | | A1 | 20021010 | CA 2002-2442476 20020131 <
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| WO | 2002079198 | | | | |
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20070125
20040102 | |
| EP | 1373259 | | A1 | 20040102
20041229 | EP 2002-716247 20020131 |
| EP | 1373259 | | | | |
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| | 1E, 51, | LI, | LV, FI, | 20040216 | CY, AL, TR |
| DIT DIT | 200300470 | | A 2 | 20040216 | EE 2003-470 20020131 |
| HII | 2003003644 | | A3 | 20040501 | 10 2003-3044 20020131 |
| BR | 2002008571 | | A | 20040323 | BR 2002-8571 20020131 |
| NZ | 528406 | | A | 20040326 | NZ 2002-528406 20020131 |
| CN | 1500087 | | A | 20040526 | CN 2002-807600 20020131 |
| CN | 1215067 | | C | 20050817 | |
| JP | 2004528319 | | T | 20040916 | JP 2002-577823 20020131 |
| EP | 1491540 | | A1 | 20041229 | CY, AL, TR EE 2003-470 20020131 HU 2003-3644 20020131 BR 2002-8571 20020131 NZ 2002-8528406 20020131 CN 2002-807600 20020131 JP 2002-577823 20020131 EF 2004-23149 20020131 GB, GR, IT, LI, LU, NL, SE, MC, FT, |
| EP | 1491540 | 0 | B1 | 20061213 | OD OD TH IT I'V NY OF NO DE |
| | | | | | GB, GR, IT, LI, LU, NL, SE, MC, PT, CY, AL, TR |
| EP | 1491541 | | | | EP 2004-23150 20020131 |
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| | IE, SI, | LT, | LV, FI, | RO, MK, | CY, AL, TR |
| AT | 286049 | | T | 20050115 | AT 2002-716247 20020131 |
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AT 2004-23149 20020131 |
| AI | 352551 | | T | 20070115 | AT 2004-23150 20020131 |
| ES | 2274369 | | тз | 20070215 | ES 2004-23149 20020131 |
| TW | 245762 | | В | 20051221 | TW 2002-91106386 20020329 |
| US | 20030162784 | | A1 | 20030828 | US 2003-370895 20030220 < |
| US | 6849629 | | B2 | 20050201 | AT 2002-716247 20020131 PT 2002-716247 20020131 ES 2002-716247 20020131 DE 2002-716247 20020131 DE 2002-60202452 20020131 AT 2004-23149 20020131 AT 2004-23149 20020131 ES 2004-23149 20020131 TW 2002-91106386 20020329 US 2003-370895 20030220 < ZA 2003-4671 20030617 |
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| HA. | 1061679 | | A. 7.1 | 20051204 | HK 2003-0030 20030929 \ |
| US | 20050113381 | | A1 | 20050526 | US 2004-968759 20041018 |
| PRIORITY | Y APPLN. INFO | . : | | | US 2001-280051P P 20010330 |
| | | | | | US 2001-280051P P 20010330
EP 2002-716247 A3 20020131
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MARPAT 137:279206

OTHER SOURCE(S):

AB The present invention relates to novel pyridazinone compds. (shown as I; variables partially described below; e.g. 6-(2-indolvlsulfonvl)-2H-pyridazin-3-one), pharmaceutical compns. comprising those compds. and to methods of using such compds. and compns. to inhibit aldose reductase, lower sorbitol levels and, thus, lower fructose levels, and/or treat or prevent diabetic complications such as diabetic neuropathy, diabetic retinopathy, diabetic nephropathy, diabetic cardiomyopathy, diabetic microangiopathy and diabetic macroangiopathy in mammals. This invention also relates to methods of affording cardioprotection to subjects not suffering from diabetes. This invention also relates to pharmaceutical compns. and kits comprising a combination of an aldose reductase inhibitor (ARI) of this invention and a sorbitol dehydrogenase inhibitor and to methods of using such compns. or kits to treat or prevent the above diabetic complications in mammals. This invention also relates to other combinations with the ARIs of this invention, including combinations with adenosine agonists; NHE-1 inhibitors; glycogen phosphorylase inhibitors; selective serotonin reuptake inhibitors; GABA agonists; antihypertensive agents; 3-hydroxy-3-methylglutaryl CoA reductase inhibitors; phosphodiesterase-5 inhibitors; and to glucose lowering agents. In I, A is S, SO or SO2; R1 and R2 are each independently H or Me; R3 is heteroarvl, -CHR4(heteroarvl) or NR6R7; R4 is H or (C1-C3)alkvl; R6 is (C1-C6) alkyl, aryl or heteroaryl; R7 is heteroaryl. No pharmacol. data is included. Although the methods of preparation are not claimed, .apprx.50 example prepns. are included.

L6 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:798081 CAPLUS Full-text

DOCUMENT NUMBER: 135:339297

TITLE: Use of retinoid receptor antagonists or agonists in

the treatment of cartilage and bone pathologies
INVENTOR(S): Pacifici, Maurizio; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patient

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

| PAT | ENT | NO. | | | KIN | D | DATE | | | APPI | ICAT | ION | NO. | | D | ATE | | |
|--------------------------------|--------------|-----|--|-----|-----|-----|------|------|-----|------|-------|------|-----|-----|-----|------|-----|---|
| | | | | | | - | | | | | | | | | | | | |
| WO 2001080894 | | | | | A2 | | 2001 | 1101 | | WO 2 | 2001- | US12 | 742 | | 2 | 0010 | 419 | < |
| WO 2001080894
WO 2001080894 | | | | | A3 | | 2002 | 0725 | | | | | | | | | | |
| | W: AE, AG, A | | | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, | |

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    US 20030114482
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    JP 2003531180
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    HK 1053053
                       A1
                             20050610
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    AU 2006233216
                       A1
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                                         AU 2006-233216
                                                               20061027
                                                           A 20000420
PRIORITY APPLN. INFO.:
                                         US 2000-552823
                                         US 1999-464344
                                                           A2 19991215
                                         WO 2001-US12742
                                                           W 20010419
OTHER SOURCE(S):
                       MARPAT 135:339297
AB
     The present invention relates to methods for treating cartilage and bone
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pathologies, including bone growth related diseases such as osteoarthritis or osteoporosis, comprising administering therapeutically effective amts. of retinoid receptor antagonists or retinoid receptor agonists.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 16 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:693315 CAPLUS Full-text

DOCUMENT NUMBER: 135:242245

TITLE: Preparation of

6-aminoalkv1-2-heterocvclv1-4-phenvldihydropyrimidine-5-carboxylates as antiviral agents for treatment of

hepatitis B infection.

INVENTOR(S): Goldmann, Siegfried; Stoltefuss, Juergen; Niewoehner,

Ulrich; Schlemmer, Karl-Heinz; Keldenich, Joerg; Paessens, Arnold; Graef, Erwin; Weber, Olaf; Deres,

Karl

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

| PA | TENT : | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION I | NO. | | D | ATE | | |
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| WO | 2001 | 0686 | 41 | | A1 | | 2001 | 0920 | | WO 2 | 001- | EP24 | 43 | | 2 | 0010 | 305 < | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, | |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | |
| | | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | LS, | |
| | | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | PL, | PT, | RO, | |
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| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZW, | AT, | BE, | CH, | CY, | |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, | BF, | |

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 10013126 A1 20010920 DE 2000-10013126 20000317 <--PRIORITY APPLN. INFO.: DE 2000-10013126 A 20000317 MARPAT 135:242245

OTHER SOURCE(S):

AB Title compds. I and II [R1 = (substituted) pyridyl, pyrimidyl, pyrazinyl, thiazolyl; R2 = (substituted) aryl, heteroaryl; R3 = (substituted) (O-, Sinterrupted) alkyl; R4 = (substituted) alkyl, aryl, heteroaryl, etc.; R5 = H, (substituted) (interrupted) alkyl, heteroaryl, etc.; R4R5 = (substituted) (interrupted) cycloalkyl, etc.; X = (substituted) (O-interrupted) alkylene], were prepared Thus, Me (R)-6-bromomethyl-4-(2-chloro-4-fluorophenyl)-2-(3,5difluoro-2-pyridinyl) - 1,4-dihydropyrimidine-5-carboxylate (preparation given) was stirred with Na2CO3 and 1-cyclopropylpiperazine dihydrochloride in MeOH for 2 h at room temperature to give 87.6% Me (R)-4-(2-chloro-4-fluorophenyl)-6-[(4-cvclopropvl-1- piperazinvl)methvl]-2-(2,3-difluoro-2-pvridinvl)-1,4dihydropyrimidine-5- carboxylate. Several title compds. inhibited intra- or extracellular DNA of hepatitis B virus-producing Hep G2.2.15-cells with

inhibited with IC50 = $0.015-0.08 \mu M$.

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS 3 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:472731 CAPLUS Full-text

DOCUMENT NUMBER: 135:61439

TITLE: Phosphonic acid derivatives as inhibitors of protein

tyrosine phosphatase 1B (PTP-1B)

INVENTOR(S): Leblanc, Yves; Dufresne, Claude; Gauthier, Jacques Yves; Lau, Cheuk Kun; Li, Chun Sing; Roy, Patrick;

Therien, Michel; Scheigetz, John; Wang, Zhaovin

Merck Frosst Canada & Co., Can.

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT ASSIGNEE(S):

| PA: | ENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION : | NO. | | D. | ATE | |
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| | | | | | | _ | | | | | | | | | - | | |
| WO | 2001 | 0462 | 06 | | A1 | | 2001 | 0628 | | WO 2 | 000- | CA15 | 50 | | 2 | 0001 | 221 < |
| | W: AE, AG, AL | | | | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
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| | | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KR, | ΚZ, | LC, | LK, | LR, | LS, | LT, | LU, |
| | | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | PL, | PT, | RO, | RU, | SD, |
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| | ZA, ZW | | | | | | | | | | | | | | | | |

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    CA 2393367
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                                                                20001221 <--
    US 20020058644
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                        A1
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    JP 2003518130
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                              20030603
                                          JP 2001-547115
                                                                20001221 <--
                                          US 1999-171520P
                                                            P 19991222
PRIORITY APPLN. INFO.:
                                          WO 2000-CA1550 W 20001221
OTHER SOURCE(S):
                       MARPAT 135:61439
   Twenty-four antidiabetic and antiobesity title compds. were prepared by
     standard methods. Among the compds. prepared were: 2-bromo-4-[2-phenyl-2-(5-
     phenyl-1,2,4-oxadiazol-3- yl)ethyl]phenyl(difluoro)methylphosphonic acid and
     [(isopropoxycarbony1)oxy]methy1 hydrogen [2-bromo-4-(3-oxo-2,3-
     diphenyl)phenyl](difluoro)methyl phosphate. The invention also encompasses
     pharmaceutical compns. and methods of treating or preventing PTP-1B mediated
     diseases, including diabetes, obesity, and conditions related to diabetes.
REFERENCE COUNT:
                             THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
                             RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L6 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:
                        2001:452848 CAPLUS Full-text
                        135:41045
DOCUMENT NUMBER:
TITLE:
                       Use of retinoid receptor antagonists in the treatment
                       of cartilage and bone pathologies
INVENTOR(S):
                       Pacifici, Maurizio; Chandraratna, Roshantha A.
PATENT ASSIGNEE(S):
                      Allergan Sales, Inc., USA
SOURCE:
                       PCT Int. Appl., 53 pp.
                       CODEN: PIXXD2
DOCUMENT TYPE:
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LANGUAGE:
                       English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:
                                        APPLICATION NO.
    PATENT NO. KIND DATE
                                                           DATE
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| | 2001
2001 | | | | | | 2001 | | | WO 2 | 000-1 | JS33 | 697 | | 2 | 0001 | 213 < |
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| | | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | LS, | LT, |
| | | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | PL, | PT, | RO, | RU, |
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| | | ZA, | ZW | | | | | | | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZW, | AT, | BE, | CH, | CY, |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, | BF, |
| | | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | |
| US | 6313 | 168 | | | В1 | | 2001 | 1106 | - 1 | US 1 | 999- | 4643 | 44 | | 1 | 9991: | 215 < |
| CA | 2394 | 210 | | | A1 | | 2001 | 0621 | | CA 2 | 000- | 2394 | 210 | | 2 | 0001 | 213 < |
| EP | 1248 | 602 | | | A2 | | 2002 | 1016 | 1 | EP 2 | 000- | 9863 | 36 | | 2 | 0001 | 213 < |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
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| JP | 2003 | 5191 | 03 | | T | | 2003 | 0617 | ٠. | JP 2 | 001- | 5446 | 71 | | 2 | 0001 | 213 < |
| AU | 7841 | 89 | | | B2 | | 2006 | 0216 | | AU 2 | 001- | 2259 | 3 | | 2 | 0001 | 213 |
| EP | 1645 | 271 | | | A1 | | 2006 | 0412 | 1 | EP 2 | 005- | 2440 | 9 | | 2 | 0001 | 213 |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
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| | | -, | | | | | | | | | | | | | | | |

US 1999-464344 A 19991215 EP 2000-986336 A3 20001213 WO 2000-US33697 W 20001213

OTHER SOURCE(S): MARPAT 135:41045

AB The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis, comprising administering therapeutically effective amts. of retinoid receptor antagonists. AGI-X2 ion exchange beads were soaked for 1 h in a solution of 4-[15,6-dihydro-5,5-dimethyl-8-(4-methylphenyl)-2-naphthalenylethhalenylethylphenyl-benzoic acid (AGN 109) and implanted in the vicinity of the prospective humeral mesenchymal condensation in stage 21-22 chick embryos and determined whether humerus development had been impaired by day 10 in vivo. AGN 109-containing beads showed striking effects on humerus development.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:396864 CAPLUS Full-text

DOCUMENT NUMBER: 135:19632

TITLE: Preparation of pyrazolyl- and pyrrolylalkanoic acid

derivatives with hypoglycemic and hypolipidemic

activity

INVENTOR(S): Momose, Yu; Maekawa, Tsuyoshi; Odaka, Hiroyuki;

Kimura, Hiroyuki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 375 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 2

| | TENT I | | | | | DATE | | | APPL | | | | | | ATE | | | |
|----|--------|------|-----|-----|-----|------|------|------|------|------|------|------|-----|-----|-----|------|--------|--|
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| | | LC, | LK, | LR, | LT, | LV, | MA, | MD, | MG, | MK, | MN, | MX, | MZ, | NO, | NZ, | PL, | RO, | |
| | | RU, | SG, | SI, | SK, | TJ, | TM, | TR, | TT, | UA, | US, | UZ, | VN, | YU, | ZA | | | |
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| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, | BF, | |
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| JΡ | 2001 | 2263 | 50 | | A | | 2001 | 0821 | | JP 2 | 000- | 3474 | 62 | | 2 | 0001 | 109 <- | |
| | 3723 | | | | | | | | | | | | | | | | | |
| BR | 2000 | 0154 | 66 | | A | | 2002 | 0806 | | BR 2 | 000- | 1546 | 6 | | 2 | 0001 | 109 <- | |
| | | | | | | | | | | EP 2 | 000- | 9748 | 57 | | 2 | 0001 | 109 <- | |
| ΕP | 1228 | 067 | | | B1 | | 2004 | 0714 | | | | | | | | | | |
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| | 2002 | | | | | | | | | | | | | | | | | |
| | | | | | | | | | | | | | | | | | 109 <- | |
| NZ | 5192 | 38 | | | A | | 2003 | 1128 | | NZ 2 | 000- | 5192 | 38 | | 2 | 0001 | 109 <- | |
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| EP | 1457 | | | | | | | | | | | | | | | | | |
| | R: | | | | | | ES, | | | | | LI, | NL, | SE, | MC, | PT, | | |
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| | 1228 | | | | | | 2004 | | | | | | | | | | | |
| ES | 2225 | 252 | | | Т3 | | 2005 | 0316 | | ES 2 | 000- | 9748 | 57 | | 2 | 0001 | 109 | |

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|------------------------|----|----------|----------------|----|------------|
| RU 2252939 | C2 | 20050527 | RU 2002-115263 | | 20001109 |
| CN 1260227 | C | 20060621 | CN 2000-817467 | | 20001109 |
| NO 2002002108 | A | 20020708 | NO 2002-2108 | | 20020502 < |
| MX 2002004647 | A | 20021031 | MX 2002-4647 | | 20020509 < |
| US 7179823 | B1 | 20070220 | US 2002-129702 | | 20020509 |
| IN 2002KN00645 | A | 20050311 | IN 2002-KN645 | | 20020513 |
| ZA 2002003824 | A | 20031015 | ZA 2002-3824 | | 20020514 < |
| HK 1045991 | A1 | 20041210 | HK 2002-106297 | | 20020827 |
| PRIORITY APPLN. INFO.: | | | JP 1999-320317 | A | 19991110 |
| | | | JP 1999-352237 | A | 19991210 |
| | | | JP 1999-352236 | A | 19991210 |
| | | | EP 2000-974857 | A3 | 20001109 |
| | | | JP 2000-347462 | A3 | 20001109 |
| | | | WO 2000-JP7877 | W | 20001109 |

OTHER SOURCE(S): MARPAT 135:19632

GΙ

$$\begin{array}{c} x_1 - x_2 \\ x_1 - x_2 - (c_{H2})_m - y - \lambda - (c_{H2})_n - \frac{1}{8} - W - c_{0-R^3} \\ & I \\ & \downarrow \\$$

AB Title compds. (I) [wherein R1 = (un)substituted hydrocarbon or heterocycle; X = bond, O, S, CO, CS, CR4(OR5), or NR6; R4 and R6 = independently H or (un) substituted hydrocarbon; R5 = H or hydroxyl protective group; m = 0-3; Y = O, S, SO, SO2, NR7, CONR7, or NR7CO; R7 = H or (un)substituted hydrocarbon; A = (un)substituted aromatic ring; n = 1-8; B = (un)substituted N-containing 5membered heterocycle; X1 = bond, O, S, SO, SO2, OSO2, or NR16; R16 = H or (un) substituted hydrocarbon; R2 = H or (un) substituted hydrocarbon or heterocycle; W = bond or hydrocarbon; R3 = OR8 or NR9R10; R8 = H or (un)substituted hydrocarbon; R9 and R10 = independently H or (un)substituted hydrocarbon or heterocycle; or R9 and R10 together with the N to which they are attached may form a ring] were prepared as retinoid-related receptor function regulating agents or insulin resistance improving agents. For example, Et 3-[1-(4-hydroxybenzyl)-4-phenyl-3-pyrrolyl]propionate and 4chloromethyl-5-methyl-2-(2-thienyl)oxazole were coupled in the presence of K2CO3 in DMF and treated with HCl to give II (77%). At a concentration of 0.001%, II reduced hypoglycemic and hypolipidemic action by 48% and 70%, resp., lowered total cholesterol by 16%, and increased the plasma antiarteriosclerosis index by 12% compared to non-treatment groups of mice. In addition, II showed potent PPARy-RXRa heterodimer ligand activity with EC50 of 1.5 nM. I are useful for the prevention or treatment of diabetes mellitus, hyperlipidemia, impaired glucose tolerance, inflammatory diseases, and arteriosclerosis.

RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:247339 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 134:261280

TITLE: Azepinoindolone derivatives as poly(ADP-ribose)

polymerase inhibitors

INVENTOR(S): Lubisch, Wilfried; Kock, Michael; Hoeger, Thomas; Grandel, Roland; Mueller, Reinhold; Schult, Sabine

Basf Aktiengesellschaft, Germany PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

| PA' | TENT | NO. | | | KIN |) | DATE | | | APPL | ICAT | ION 1 | 10. | | D | ATE | | |
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| | | 0233
0233 | | | | | | | | WO 2 | 000- | EP902 | 24 | | 2 | 0000 | 915 | < |
| | ₩: | AE,
CR, | | | | | | | | | | BR,
GB, | | | | | | |
| | | | | | | | | | | | | KZ,
NO, | | | | | | |
| | | SD, | | SG, | | | | | | | | TZ, | | | | | | |
| | RW: | GH, | GM, | KE, | | | | | | | | UG,
MC, | | | | | | |
| | | | | | | | | | | | | SN, | | | , | , | , | |
| DE | 1994 | 6289 | | | A1 | | 2001 | 0329 | | DE 1 | 999- | 19946 | 289 | | 1 | 9990 | 928 | < |
| DE | 1003 | 9610 | | | A1 | | 2002 | 0228 | | DE 2 | 000- | 10039 | 610 | | 2 | 0000 | 809 | < |
| | | 194 | | | | | | | | | | | | | | | | |
| | | 0071 | | | | | 2001 | 0904 | | BR 2 | 000- | 7174 | | | 2 | 0000 | 915 | < |
| EP | | 259 | | | | | | | | | | 9743 | | | | | | |
| | R: | ΑT, | | | | | | FR, | GB, | GR, | ΙT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | | | | LV, | | | | | | | | | | | | | |
| | | 0049 | | | | | | | | HU 2 | 001- | 4917 | | | 2 | 0000 | 915 | < |
| HU | 2001 | 0049 | 17 | | A3 | | 2002 | | | 0 | | | | | | | | |
| | | 5103 | | | | | | 0318 | | | | 52654
5199 | | | | | | |
| | | .0025 | | | | | | 0625 | | | | 2567 | | | | | | |
| | | CN00 | | | | | | 0304 | | | | 2367
CN726 | | | | 0010 | | ζ |
| | | 50 | | | A | | | 0228 | | | | LN / 26 | | | | 0010 | | |
| IORIT | | | | | 21 | | 2002 | 0220 | | | | 19946 | | | | | | ` - |
| LONII | LALL | DIA. | TIME | • • | | | | | | | | 10039 | | | | | | |
| | | | | | | | | | | | | EP902 | | | | 0000 | | |

OTHER SOURCE(S): MARPAT 134:261280

Enantiomeric and diastereomeric forms and prodrugs of azepinoindolone derivs. such as 2-(4-(4-n-propylpiperazin-1-vl)phenyl)-1,3,4,5-tetrahydro-6Hazepino[5,4,3-c,d]indol-6-one are useful as poly(ADP-ribose) polymerase inhibitors. The effectiveness of the title compds. in inhibiting poly(ADPribose) polymerase was demonstrated.

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS 3 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:185763 CAPLUS Full-text DOCUMENT NUMBER: 134:207967

TITLE: Preparation of electronically tuned ligands INVENTOR(S): Busacca, Carl

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA SOURCE:

PCT Int. Appl., 25 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PAT | TENT : | иО. | | | KIN |) | DATE | | | APE | LICA | TIO | N N | 10. | | Ι | ATE | | |
|--------|--------|------|------|-----|------|-----|------|------|-----|-----|------|-----|-----|-----|-----|-----|------|-----|----|
| WO | 2001 | 0180 | 12 | | A1 | | 2001 | 0315 | | wo | 2000 | -US | 241 | 162 | | 2 | 0000 | 905 | < |
| | W: | CA, | JP, | MX | | | | | | | | | | | | | | | |
| | RW: | | | CH, | CY, | DE, | DK, | ES, | FI, | FF | , GB | , G | R, | ΙE, | IT, | LU, | MC, | NL | , |
| | | PT, | | | | | | | | | | | | | | | | | |
| CA | 2382 | 163 | | | A1 | | 2001 | 0315 | | CA | 2000 | -23 | 821 | 163 | | 2 | 0000 | 905 | <- |
| US | 6316 | 620 | | | В1 | | 2001 | 1113 | | US | 2000 | -65 | 511 | 15 | | 2 | 0000 | 905 | <- |
| EP | 1218 | 388 | | | A1 | | 2002 | 0703 | | EΡ | 2000 | -95 | 980 |) 4 | | 2 | 0000 | 905 | <- |
| EP | 1218 | 388 | | | В1 | | 2004 | 0128 | | | | | | | | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GF | , IT | , L | I, | LU, | NL, | SE, | MC, | PT | , |
| | | IE, | FI, | CY | | | | | | | | | | | | | | | |
| JP | 2003 | 5085 | 38 | | T | | 2003 | 0304 | | JΡ | 2001 | -52 | 223 | 35 | | 2 | 0000 | 905 | <- |
| AT | 2585 | 56 | | | T | | 2004 | 0215 | | AΤ | 2000 | -95 | 980 |) 4 | | 2 | 0000 | 905 | |
| PT | 1218 | 388 | | | Т | | 2004 | 0531 | | PT | 2000 | -95 | 980 |) 4 | | 2 | 0000 | 905 | |
| ES | 2213 | 600 | | | Т3 | | 2004 | 0901 | | ES | 2000 | -95 | 980 |) 4 | | 2 | 0000 | 905 | |
| MX | 2002 | 0023 | 53 | | A | | 2002 | 0730 | | MX | 2002 | -23 | 53 | | | 2 | 0020 | 304 | <- |
| IORITY | Y APP | LN. | INFO | . : | | | | | | US | 1999 | -15 | 290 |)9P | | P 1 | 9990 | 908 | |
| | | | | | | | | | | WO | 2000 | -US | 241 | 162 | | W 2 | 0000 | 905 | |
| HER SO | DURCE | (S): | | | MARI | PAT | 134: | 2079 | 57 | | | | | | | | | | |

The preparation of phosphino- or arsinoamidines I (M = P, As; X, Y, Z = independently selected from H, alkyl, aryl (pendant or fused), halo, C1-10 alkoxy, cyano, nitro, amino, alkylamino, dialkylamino, CO2H, -CO(lower alkoxy), -CO(lower alkyl), -NCOH, -NCO(lower alkyl), NSO2(alkyl), -NSO2(aryl), hydroxy, alkyl, sulfonoxyalkyl, sulfonoxyaryl, alkoxyalkyl; R1 = H, C1-10 alkyl, branched alkyl or cycloalkyl; aryl, substituted aryl, heteroaryl or substituted heteroaryl where the heteroatoms are N, O, S, acyl, aroyl, substituted aroyl, heteroaroyl, substituted heteroaroyl, or SO2R4; R4 = alkyl, aryl, heteroaryl, substituted aryl, substituted heteroaryl groups in direct attachment, with the provisos that R2 and R3 can be the same or different and are H, aryl, heteroaryl as defined above, substituted aryl or

heteroaryl as defined (with substituents as defined below), alkyl, branched alkyl, cycloalkyl, benzyl, substituted benzyl, with substituents as defined for aryl, or R2 and R3 together may form a fused carbocyclic ring, Ring B is an imidazoline ring or a tetrahydropyrimidine ring), useful as cocatalyst for stereoselective synthesis, is described. Thus, preparation of title ligand cocatalyst 2-(2'-diphenylphosphinophenyl)-3-(2''-naphthoyl)-(4S, 55) -diphenyl-4,5- dihydroimidazole, is described in four steps starting from 2-FC6H4CONH2; the use of prepared ligand as cocatalyst for asym. Heck reaction is also described.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:78220 CAPLUS Full-text

DOCUMENT NUMBER: 134:125939

TITLE: The use of retinoid receptor antagonists in the

treatment of prostate carcinoma

INVENTOR(S): Chandraratna, Roshantha A.; Brown, Geoffrey
PATENT ASSIGNEE(S): Allergan Sales, Inc., USA

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PA | TENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION : | NO. | | D. | ATE | | |
|----|------|------|-----|-----|-----|-----|------|------|-----|------|------|-------|-----|-----|-----|------|-----|---|
| | | | | | | _ | | | | | | | | | - | | | |
| WO | 2001 | 0070 | 28 | | A2 | | 2001 | 0201 | | WO 2 | 000- | US19 | 849 | | 2 | 0000 | 721 | < |
| WO | 2001 | 0070 | 28 | | A3 | | 2001 | 0830 | | | | | | | | | | |
| | W: | ΑE, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CR, | CU, | |
| | | CZ, | DE, | DK, | DM, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, | HU, | ID, | IL, | |
| | | IN, | IS, | JP, | KΕ, | KG, | KP, | KR, | ΚZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MA, | |
| | | MD, | MG, | MK, | MN, | MW, | MX, | NO, | NZ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | |
| | | SK, | SL, | TJ, | TM, | TR, | TT, | TZ, | UA, | UG, | UZ, | VN, | YU, | ZA, | ZW, | AM, | ΑZ, | |
| | | BY, | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZW, | AT, | BE, | CH, | CY, | |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | | |
| | | | | | | | | | | | | | | | | | | |

PRIORITY APPLN. INFO.: US 1999-145287P P 19990723
OTHER SOURCE(S): MARPAT 134:125939

AB Methods for treating prostate cancer comprise administering a therapeutically effective amount of a retinoid receptor antagonist. In addition, the invention provides methods of inhibiting the growth of a prostate carcinoma cell or tumor, the method comprising contacting the cell or tumor with an effective amount of a retinoid receptor antagonist.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:63991 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 134:115959

TITLE: Preparation of novel 4,4-diphenylpiperidines for the treatment of chemokine receptor related diseases and

conditions

INVENTOR(S): Baxter, Andrew John Gilby; Brough, Stephen John;

McInally, Thomas

PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PA: | TENT | NO. | | | KIND DATE | | | APPLICATION NO. | | | | | | | | | | |
|--------|----------------|------|------|-----|-------------|---|------|-----------------|---------------------------------------|---------------------|------|-------|-----|------------|------------|------|-----|---|
| WO | | | | | A1 20010125 | | | 0125 | WO 2000-GB2756
BA, BB, BG, BR, BY, | | | | | | 20000718 < | | | |
| | w: | | | | | | | | | | | | | | | | | |
| | | | | | | | DZ, | | | | | | | | | | | |
| | | | | | | | KE, | | | | | | | | | | | |
| | | | | | | | MN, | | | | | | | | | | | |
| | DII | | | | | | TM, | | | | | | | | | | | |
| | RW: | | | | | | MZ, | | | | | | | | | | | |
| | | | | | | | GB, | | | | | | | | SE, | Br, | Вυ, | |
| | 0000 | | | | | | GN, | | | | | | | | _ | | | |
| | | | | | | A1 20010125 CA 2000-2378084
A 20020409 BR 2000-12610 | | | | | | | | | | | | |
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| EP | EP 1202984 | | | | | | | | | GB, GR, IT, LI, LU, | | | | | | | | |
| | R: | | | | | | | | | | IT, | ьī, | LU, | ΝL, | SE, | MC, | PT, | |
| TD | 0000 | | | | | JV, FI, RO, MK, CY, AL
T 20030212 JP 2001-511441 | | | | | | | | | | -110 | | |
| JP | 2003 | 5053 | 83 | | T 20030212 | | | | JP 2001-511441 | | | | | 20000718 < | | | | |
| | | | | | | | | | | | | | | 20000718 < | | | | |
| NZ | 5166 | 06 | | | A | | | | NZ 2000-516606
AU 2000-60016 | | | | | | | | | |
| | 7713
1152 | | | | | | | | | | | | | | | 0000 | | |
| | 6566 | | | | | | 2004 | | | | | | | | | 0000 | | |
| | | | 40 | | | | 2003 | | | | | | | | | 0000 | | |
| | 2001 | | | | | | 2003 | | | | | | | | | 0011 | | |
| | 2002 | | | | | | 2002 | | | | 002- | | | | | 0020 | | |
| | 2002 | | | | A | | 2002 | 0702 | | | | | | | | | | < |
| RIORIT | I APP | LN. | INFO | . : | | | | | | | 999- | | | | | 9990 | | |
| | HER SOURCE(S): | | | | MAR | PAT | 134: | 1159 | | wo 2 | 000- | GB2 / | 26 | | w 2 | 0000 | 118 | |
| I | | | | | | | | | | | | | | | | | | |

$$\begin{array}{c|c}
R^1 & & & & \\
R^2 & & & & & \\
N - Y & & & & \\
X + N & & & & \\
A - R^4 & & & & \\
Ph & & & \\$$

AB

The title compds. [I, Rl, R2 = (un)substituted Ph; R3 = halo, NO2, alkyl, etc.; n = 0-3; R4 = H, OH, NR1OR11; $\lambda = CO$, CH2, a bond; Q = alkylene; U, W and X = (un)substituted <math>C, N; V = (un)substituted <math>N, O; Y = alkylene, CO; R10,

R11 = H, alkyl, unsatd. alkyl, etc.; NR10R11 = (un)substituted 4-8 membered saturated azacyclic ring] and their pharmaceutically acceptable salts, useful in therapy, especially for the treatment of chemokine receptor related diseases and conditions (no data), were prepared E.g., a 2-step synthesis of 4,4-diphenylpiperidine II was given.

REFERENCE COUNT: THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 24 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:686089 CAPLUS Full-text

DOCUMENT NUMBER: 133:268546

TITLE: Group VIII metal complexes with phosphinamidite

ligands as catalysts for hydroformylation or

hydrocyanation of olefins

Ahlers, Wolfgang; Maas, Heiko; Roeper, Michael INVENTOR(S):

PATENT ASSIGNEE(S): BASF A.-G., Germany SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE | | | |
|------------------------|--------------------|-----------------------|-------------|--|--|--|
| DE 19913352 | A1 20000928 | DE 1999-19913352 | 19990324 < | | | |
| WO 2000056451 | A1 20000928 | WO 2000-EP2610 | 20000323 < | | | |
| W: CN, JP, US | | | | | | |
| RW: AT, BE, CH, | CY, DE, DK, ES, FI | , FR, GB, GR, IE, IT, | LU, MC, NL, | | | |
| PT, SE | | | | | | |
| EP 1163051 | A1 20011219 | EP 2000-918832 | 20000323 < | | | |
| EP 1163051 | B1 20041110 | | | | | |
| R: AT, BE, CH, | DE, DK, ES, FR, GB | , GR, IT, LI, LU, NL, | SE, MC, PT, | | | |
| IE, FI | | | | | | |
| JP 2002539920 | T 20021126 | JP 2000-606345 | 20000323 < | | | |
| US 6852661 | B1 20050208 | US 2001-937310 | 20010924 | | | |
| PRIORITY APPLN. INFO.: | | DE 1999-19913352 | A 19990324 | | | |
| | | WO 2000-EP2610 V | W 20000323 | | | |
| OTHER SOURCE(S): | MARPAT 133:268546 | | | | | |

AB Group VIII metal complexes with mono- or multidentate phosphinamidite ligands of specified structure are used as catalysts for hydroformylation or hydrocyanation of olefins, e.g., 1-octene or 3-pentenenitrile. For example, chlorination of biphenyl-2-ol with PCl3 in the presence of ZnCl2 gave 69% 6chloro-(6H)-dibenz[c,e][1,2]oxaphosphorin. Stirring of the latter with Kmetalated pyrrole for 12 h at ambient temperature in THF gave 50% of a title

ligand I. Hydroformylation of 22.5 g 1-octene with synthesis gas (CO/H = 1:1; 40 bar) in the presence of 123 mg (acac)Rh(CO)2 (acacH = acetylacetone) and 680 mg I gave nonanal isomers with 96% selectivity.

L6 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:441769 CAPLUS Full-text

DOCUMENT NUMBER:

133:73851

TITLE:

Preparation of novel herbicidally active benzoyl

derivatives

INVENTOR(S): Schaetzer, Juergen; De Mesmaeker, Alain; Lee, Shy-Fuh

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | | | | | KIND DATE | | | | APPLICATION NO. | | | | | | | DATE | | | |
|----------|------------------|-----|------|-----|-----------------|---------|------|----------------------|-----------------|----|------------|-----------------|-----|----------|------------|-------|-----|---|--|
| | | | | | WO 1999-EP10128 | | | | | | 19991220 < | | | | | | | | |
| | | | | | | | | | | | | CH, CN, CR, CU, | | | | | | | |
| | | CZ, | DE, | DK, | EE, | ES, | FI, | GB, | GD, | GE | , GH, | GM, | HR, | HU, | ID | IL, | IN, | | |
| | | IS, | JP, | KE, | KG, | KP | KR, | KZ, | LC, | LK | , LR, | LS, | LT, | LU, | LV | MA, | MD, | | |
| | | MG, | MK, | MN, | MW, | MX | NO, | NZ, | PL, | PI | , RO, | RU, | SD, | SE, | SG | SI, | SK, | | |
| | | SL, | TJ, | TM, | TR, | TT, | TZ, | UA, | UG, | US | , UZ, | VN, | YU, | ZA, | ZW | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW. | SD, | SL, | SZ, | TZ | , UG, | ZW, | ΑT, | BE, | CH | CY, | DE, | | |
| | | DK, | ES, | FI, | FR, | GB, | GR, | IE, | IT, | LU | , MC, | NL, | PT, | SE, | BF | BJ, | CF, | | |
| | | CG, | | | | | | | | | , SN, | | | | | | | | |
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| | | | | | | | | .1010 EP 1999-963584 | | | | | | | | 19991 | 220 | < | |
| EP | 1140 | | | | | | | | | | | | | | | | | | |
| | R: | | | | | | | | | GF | , IT, | LI, | LU, | ΝL, | SE | MC, | PT, | | |
| | | | | | | | | CY | | | | | | | | | | | |
| | 3349 | | | | | | | | | | | | | 19991220 | | | | | |
| | | | | | | | | | | | | | | 19991220 | | | | | |
| | | | | | | | | | US 2001-886896 | | | | | | 20010621 < | | | | |
| | 6599 | | | | | | | 0729 | | | | | | | 20030605 < | | | | |
| | 2003 | | | | | | | | | US | 2003- | -4549 | 66 | | | 20030 | 605 | < | |
| | 7265 | | | | | | | 0904 | | | | | | | | | | | |
| | 2007 | | | | Al | | 2007 | 1115 | | | 2007- | | | | | | | | |
| PRIORIT | Y APP | LN. | TNEO | . : | | | | | | | 1998- | | | | | 19981 | | | |
| | | | | | | | | | | | 1999- | | | | | 19991 | | | |
| | | | | | | | | | | | 2001- | | | | | 20010 | | | |
| OWLUDD C | OUDOD | | | | Man | D 3. FF | 122. | 7205 | 1 | US | 2003- | 4549 | 00 | | A3 . | 20030 | 605 | | |
| OTHER S | OTHER SOURCE(S): | | | | | PAT | 133: | 1385 | 1 | | | | | | | | | | |

$$Q \xrightarrow{\bigcirc R^1} X$$

$$R^2$$

AB The title compds. [I; X = CH2OMe, CH2OEt, CH2OH, etc.; R1, R2 = halo, CN, N02, etc.; R3 = H, alkyl, halo; Q = 5,6-dihydro-5-hydroxy-3-oxo-2,6,6-trimethyl-2H-[1,2]oxazin-4-yl, 4-hydroxy-2-oxo-bicyclo[3.2.1]oct-3-en-3-yl, etc.] which are eminently suitable for use as herbicides, were prepared E.g., a 2-step synthesis of I [IX = CH2OMe; R1 = Me; R2 = SOZMe; R3 = H; Q = 5,6-dihydro-5-hydroxy-3-oxo-2,6,6-trimethyl-2H-[1,2]oxazin-4-yl] which showed good herbicidal action against Setaria and Cyperus in pre-emergent and post-emergent action tests at 2000 q AS/ha, was given.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:240931 CAPLUS Full-text

DOCUMENT NUMBER: 132:274321

TITLE: Male antifertility agents

INVENTOR(S): Klein, Elliott S.; Yuan, Yang-Dar; Chandraratna,

Roshantha A.

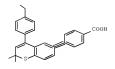
PATENT ASSIGNEE(S): Allergan Sales, Inc., USA SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE | | | |
|---|----------------------------|------------------------------------|------------------------|--|--|--|
| | A2 20000413
A3 20000720 | WO 1999-US22222 | 19990924 < | | | |
| W: AU, CA, J
RW: AT, BE, C
PT, SE | | FI, FR, GB, GR, IE, I | T, LU, MC, NL, | | | |
| CA 2346687 | | CA 1999-2346687 | | | | |
| | A 20000426
B2 20030220 | | 19990924 < | | | |
| EP 1119350
EP 1119350 | A2 20010801
B1 20050223 | EP 1999-948451 | 19990924 < | | | |
| R: AT, BE, C.
IE, FI | H, DE, DK, ES, FR, | GB, GR, IT, LI, LU, N | L, SE, MC, PT, | | | |
| JP 2002526405
AT 289507 | T 20020820
T 20050315 | | 19990924 <
19990924 | | | |
| PRIORITY APPLN. INFO.: | | US 1998-103507P
WO 1999-US22222 | P 19981008 | | | |
| OTHER SOURCE(S): | MARPAT 132:2748 | | w 15550524 | | | |



AB Methods and compns. for inhibiting or preventing spermatogenesis in a male mammal are disclosed. AGN 194310 (I) was prepared and orally administered to rats and was not toxic and expts. showed that daily oral delivery of this RAR antagonist was sufficient to cause spermatogenic arrest.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:84792 CAPLUS Full-text

DOCUMENT NUMBER: 132:122612

TITLE: Preparation of benzoxazole derivatives for inhibiting the interaction between VCAM-1 and/or fibronectin and

the integrin receptor VLA-4

INVENTOR(S): Brittain, David Robert; Johnstone, Craig; Davies,

Gareth Morse; Large, Michael Stewart

PATENT ASSIGNEE(S): Zeneca Limited, UK SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| | ENT : | | | | KIND DATE | | | | | | ICAT | | | | | | | | |
|----------|------------|------|-------------|-----|-------------|-----|------|------|----------------|------|------|------|-----|------------|------------|------|-----|---|--|
| | | | A2 20000203 | | | | | | | | | | | | | | | | |
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| | RW: | | | | | | SD, | | | | | | BE. | CH. | CY, | DE, | DK, | | |
| | | | | | | | IE, | | | | | | | | | | | | |
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| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | | |
| | | IE, | FΙ | | | | | | | | | | | | | | | | |
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OTHER SOURCE(S):

MARPAT 132:122612

$$\stackrel{\text{Ph}}{\underset{\text{H}}{\longrightarrow}} \stackrel{\text{O}}{\longrightarrow} \stackrel{\text{H}}{\underset{\text{OMe}}{\longrightarrow}} \stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{CO}_2\text{H}}{\longrightarrow}$$

AB The title compds. [I; A = (un)substituted bicyclic heteroaryl; B = linker group connecting group A to group D and comprising (un)substituted 3 or 4 atom linker where each atom is independently selected from C, O, N and S; C = (un) substituted aryl, mono or bicyclic heteroaryl; D = (un) substituted aryl, heteroarvl; R1 = H, alkvl, alkanovl, alkoxycarbonvl; R2-R5 = H, alkvl, (un) substituted aryl, etc.; two of R2-R5 can be taken together to form a 3-7 membered ring; R6 = acidic functional group; r, s = 0-1 with the proviso that r and s cannot both be 0], useful for treating multiple sclerosis, rheumatoid arthritis, asthma, coronary artery disease, psoriasis, atherosclerosis, transplant rejection, inflammatory bowel disease, insulin-dependent diabetes and glomerulonephritis, were prepared E.g., a multi-step synthesis of benzoxazole II was given. Compds. I are effective at 0.1-15 mg/kg/dav.

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 28 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:784149 CAPLUS Full-text DOCUMENT NUMBER: 132:36180

TITLE:

Macromolecular photoinitiators and their applications INVENTOR(S): Asakura, Toshikage; Ohwa, Masaki; Yamato, Hitoshi;

Tatsumi, Asako

PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz. SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

| PATENT NO. | | | | | KIN | D | DATE | | | APPLICATION NO. | | | | | | DATE | | | |
|------------|------------|-----|-----|-----|-------------|-----|------|-----|-----|-----------------|-------|------------|-----|-----|-----|------|-----|--|--|
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| | W: | ΑE, | AL, | AM, | ΑT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CU, | CZ, | | |
| | | DE, | DK, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | | |
| | | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MD, | MG, | MK, | | |
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| | | TM, | TR, | TT, | UA, | UG, | US, | UZ, | VN, | YU, | ZA, | ZW | | | | | | | |
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GI

$${\tt HS = (CH2)3 - S} = \underbrace{ \begin{array}{c} {\tt O} \\ {\tt CH3} \\ {\tt CH3} \\ {\tt CH3} \\ {\tt CH3} \\ \end{array} }_{\tt I}$$

AB The title photoinitiators are prepared by thermal polymerization of a monomer and a photoinitiator containing a chain transfer group. The macrophotoinitiators are polymerized photochem. to give block copolymers. A photoinitiator prepared from I and methacrylic acid was polymerized with

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

styrene using UV irradiation to give a block copolymer.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

L6 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:392757 CAPLUS Full-text
DOCUMENT NUMBER: 129:68148

ORIGINAL REFERENCE NO.: 129:14150h,14151a

TITLE: α-aminoacetophenones as photoinitiators

INVENTOR(S): Ohwa, Masaki; Yamoto, Hitoshi; Birbaum, Jean-Luc; Nakashima, Hiroko; Matsumoto, Akira; Oka, Hidetaka PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz

SOURCE: Ger. Offen., 46 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA | TENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| | | | DE | 1997-19753655 | T0 | 19971203 | |
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OTHER SOURCE(S): MARPAT 129:68148

The title compds., of specified structure. are prepared for use as initiators of photopolymn. Adding 120 mL PhCl dropwise to 0.41 mol 2-bromo-1-(4fluorophenyl)2-methyl-1-propanone in 80 mL MeOH containing 0.45 mol NaOMe at 20° gave 90.8 g crude

(4-fluorophenyl)-3,3-dimethyl-2-methoxyoxirane which, after vacuum

distillation, was refluxed (0.35 mol) with 200 mL morpholine for 26 h to give 88.1 q 1-(4-fluorophenyl)-2-methyl-2-morpholinyl-1-propanone (I). Adding 80 mmol I in AcNMe2 over 14 h to 0.488 mol 1,3-propanedithiol and 22 g K2CO3 in AcNMe2 at 40° and stirring for 5 h gave 1-[4-[(3-mercaptopropyl)thio]phenyl]-2-methyl-2-morpholino-1-propanone. Use of the products in photopolymn, is exemplified.

L6 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1998:226847 CAPLUS Full-text

DOCUMENT NUMBER: 128:282789

ORIGINAL REFERENCE NO.: 128:55979a,55982a

TITLE: Preparation of N-aryl substituted tetrahydroquinolines having retinoid agonist, retinoid antagonist or

retinoid inverse agonist type biological activity

INVENTOR(S): Beard, Richard L.; Teng, Min; Colon, Diana F.; Duong,

Tien T.; Chandraratna, Roshantha A. PATENT ASSIGNEE(S): Allergan, USA U.S., 21 pp.

SOURCE:

CODEN: USXXAM DOCUMENT TYPE: Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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| LC, LK, LR, | LS, LT, LU, | LV, MD, MG, | MK, MN, MW, MX, | NO, NZ, PL, |
| PT, RO, RU, | SD, SE, SG, | SI, SK, SL, | TJ, TM, TR, TT, | UA, UG, UZ, |
| VN, YU, ZW | | | | |
| RW: GH, KE, LS, | MW, SD, SZ, | UG, ZW, AT, | BE, CH, DE, DK, | ES, FI, FR, |
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IE, SI, LT,
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II

$$\begin{array}{c|c} & \mathbb{R}^1 & \mathbb{R}^2 \\ \mathbb{R}^3 & \mathbb{R}^2 & \mathbb{R}^2 \\ \mathbb{R}^3 & \mathbb{R}^2 & \mathbb{R}^2 \\ \mathbb{R}^3 & \mathbb{R}^2 & \mathbb{R}^2 \\ \mathbb{R}^3 & \mathbb{R}^3 & \mathbb{R}^3 \\ \mathbb{R}^3 & \mathbb{R}^3 \\ \mathbb{R}^3 & \mathbb{R}^3 \\ \mathbb{R}^3 & \mathbb{R}^3 & \mathbb{R}^3 \\ \mathbb{R}^3 & \mathbb{R}^3 \\$$

AB The title compds. [I; R1 = H, C1-6 alkyl; R2 = C1-6 alkyl, F, C1, Br, I; n =0-3; R3 = C1-6 alkyl, F; X1, X2 = H, C1-6 alkyl; X1X2 = O; R4 = (un) substituted Ph, naphthyl, thienyl, etc.; Z = C.tplbond.C; (CR1:CR1)n (n = 0-5), CONR1; NR1CO; Y = (un) substituted Ph, naphthyl, heteroaryl; A = (CH2)q (g = 0-5), C3-6 alkyl, C3-6 cycloalkyl, etc.; B = H, COOH, CH2OH, etc. | having retinoid, retinoid antagonist or retinoid inverse agonist-like biol. activity, were prepared Thus, reaction of 4,4-dimethyl-1,2,3,4-tetrahydro-N-(4methylphenyl)-7-ethynylquinoline (preparation described) with Et 4iodobenzoate in the presence of Et3N, CuI and PdC12(Ph3P)2 followed by hydrolysis of the resulting Et 4-{2-[4,4-dimethyl-1,2,3,4-tetrahydro-N-(4methylphenyl)quinolin-7- yl]ethynyl}benzoate with aqueous LiOH in THF/MeOH afforded the title compound II which showed Ki of 13 nM against RARlpha binding. REFERENCE COUNT: THERE ARE 117 CITED REFERENCES AVAILABLE FOR 117

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 31 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:361630 CAPLUS Full-text 126:330623 DOCUMENT NUMBER:

ORIGINAL REFERENCE NO.: 126:64259a,64262a

TITLE: Preparation of 4-anilinopyrido[3,4-d]pyrimidines and analogs as protein tyrosine kinase inhibitors

INVENTOR(S): Cockerill, George Stuart; Guntrip, Stephen Barry; Mckeown, Stephen Carl; Page, Martin John; Smith,

Kathryn Jane; Vile, Sadie; Hudson, Alan Thomas; Barraclough, Paul; Franzmann, Karl Witold; et al. Glaxo Group Limited, UK; Cockerill, George Stuart; Guntrip, Stephen Barry; Mckeown, Stephen Carl; Page,

Martin John; Smith, Kathryn Jane

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

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| GB 1996-14757 A 19960713 | | | | | | | | | | | GB 1 | .996- | 1475 | 7 | - 1 | A 1 | 9960 | 713 | |
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| OTHER SOURCE(S): MARPAT 126:330623 | OTHER S | OURCE | (S): | | | MARI | PAT | 126: | 3306 | | | | | | | | | | |
| GI | | | , - | | | | | | | | | | | | | | | | |

AB Title compds. [I; R = YZ1ZR4; R2 = H, halo, CF3, alkyl, alkoxy; R4 = cycloalkyl, Ph, thienyl, pyridyl, etc.; R6R7 = atoms to complete a (heteroaryl-substituted) heterocyclic ring; X = N or CH; Y = O, OCH2, SOO-2, (alkyl)imino, etc.; Z = O, CH2, NRb, OCH2, etc.; Rb = H or alkyl; NRbR4 = heterocyclyl; Z1 = (un)substituted phenylenel were prepared Thus, 4,6-dichloropyrido[3,4-d]pyrimidine was aminated by 4-(PhCH2O)C6H4NH2 and th product condensed with 5-tributylstannyl-M-methylimidazole to give title compound II. Data for biol. activity of I were given.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 32 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:205247 CAPLUS Full-text DOCUMENT NUMBER: 126:205763

ORIGINAL REFERENCE NO.: 126:39656h,39657a,39658a

TITLE: Preparation of organosilicon compounds, and

liquid-crystal composition and liquid-crystal display

element.

INVENTOR(S): Kondo, Tomovuki; Matsui, Shuichi; Hachiva, Norihisa;

Nakagawa, Etsuo

PATENT ASSIGNEE(S): Chisso Corp., Japan

SOURCE: PCT Int. Appl., 116 pp. CODEN: PIXXD2 Patent

DOCUMENT TYPE: LANGUAGE:

Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PAT | PATENT NO. | | | | | DATE | APPLICATION NO. | DATE | | |
|----------|------------|------|-----|-----|-----|----------|----------------------------|--------------|--|--|
| | | | | | | | | | | |
| WO | 9705144 | | | A1 | | 19970213 | WO 1996-JP2103 | 19960726 < | | |
| | W: CN, | JP, | KR, | US | | | | | | |
| | RW: AT, | BE, | CH, | DE, | DK, | ES, FI, | FR, GB, GR, IE, IT, LU, MC | , NL, PT, SE | | |
| CN | 1195352 | | | A | | 19981007 | CN 1996-196782 | 19960726 < | | |
| EP | 872484 | | | A1 | | 19981021 | EP 1996-925097 | 19960726 < | | |
| EP | 872484 | | | B1 | | 20021002 | | | | |
| | R: AT, | BE, | CH, | DE, | DK, | ES, FR, | GB, IT, LI, NL | | | |
| AT | 225353 | | | T | | 20021015 | AT 1996-925097 | 19960726 < | | |
| JP | 3751640 | | | B2 | | 20060301 | JP 1997-507462 | 19960726 | | |
| US | 5993690 | | | A | | 19991130 | US 1998-409 | 19980126 < | | |
| PRIORITY | APPLN. | INFO | . : | | | | JP 1995-211211 A | 19950727 | | |
| | | | | | | | WO 1996-JP2103 W | 19960726 | | |

MARPAT 126:205763 OTHER SOURCE(S): AB Organosilicon compds. represented by the general formula Ra-A-(Z1-A1)m-(Z2-A2)n-(Z3-A3)o-Rb [I; at least one of Ra, Rb, Z1, Z2 and Z3 has an SiH2 group; Ra = H or C1-2 alkyl wherein at least one CH2 group may be substituted by SiH2, O, S, CO, CH:CH, C.tplbond.C, or 1,4-cyclobutylene; Rb = a group of any of the Ra groups, halo or cyano; A, Al, A2 and A3 represent each a bivalent ring group; Z1, Z2 and Z3 represent each independently a covalent bond or (CH2)p wherein at least one CH2 group may be substituted by SiH2, O, S, CO, CH:CH or C.tplbond.C; p represents an integer of 1 to 4; m, n and o represent each independently 0 or 1), which are excellent in the compatibility with other liquid-crystal materials, reduced in viscosity, and improved in threshold voltage, are prepared A liquid crystal composition containing at least one silicon compound I and a liquid crystal display device using said liquid crystal composition are claimed. Thus, 10.0 q 4-bromo-4'-butoxybiphenyl was treated dropwise with BuLi in Et20 at -50°, stirred at -50° for 30 min, warmed to room temperature, stirred for 3 h, and resulting reaction mixture was added dropwise to a solution of 11.6 g propyltrichlorosilane in 10 mL THF at -50°, and stirred at -50° for 30 min and at room temperature for 48 h to give 4.6 g 4-propyldichlorosily1-4'-butoxybiphenyl. The latter compound (3.0 g) was dissolved in Et20 and reduced by LiAlH4 at room temperature for 10 h to give 7.8% 4-propylsilyl-4'-butoxybiphenyl.

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:186975 CAPLUS Full-text DOCUMENT NUMBER: 126:212053

ORIGINAL REFERENCE NO.: 126:41007a,41010a

TITLE: Preparation of bis[bi(aryl/heteroaryl)] compounds as

inhibitors of leukotriene biosynthesis

INVENTOR(S): Friesen, Richard; Dube, Daniel; Ducharme, Yves;

Lepine, Carole; Delorme, Daniel; Hamel, Pierre

PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can. SOURCE:

Can. Pat. Appl., 80 pp.

CODEN: CPXXEB DOCUMENT TYPE: Patent.

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. DA | TE |
|------------------------|--------|------------|---------------------|----------|
| | | | | |
| CA 2169231 | A1 | 19960816 | CA 1996-2169231 19 | 960209 < |
| US 5576338 | A | 19961119 | US 1995-388787 19 | 950215 < |
| PRIORITY APPLN. INFO.: | | | US 1995-388787 A 19 | 950215 |
| OTHER SOURCE(S): | MARPAT | 126:212053 | | |

AB The title compds. Ar1Ar2-X-Ar3Ar4 [I; Ar1, Ar4 = (un)substituted 5-membered aromatic ring containing one O or S and 0-3 N, 5-membered aromatic ring containing 1-4 N, 6-membered aromatic ring containing 0-3 N; Ar2 = (un) substituted arvlene = 6-membered aromatic ring containing 0-3 N; Ar3 = (un) substituted arylene = 10-membered bicyclic aromatic ring containing 0-3 N, 2H-1-benzopyran-2-one, 2H-2-thioxo-1-benzopyran; X = OCH2, CH2O, O, S, S(O), S(0)2], useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents, and also in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques, were prepared Thus, reaction of 3-fluoro-5-(4-pyridyl)phenol with 7-bromomethyl-2-cyano-4-(3furyl)quinoline in the presence of Cs2CO3 in DMF afforded the title compound II. In general, compds. I are effective at 0.1-10 mg/kg/day.

TT

L6 ANSWER 34 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:134915 CAPLUS Full-text

DOCUMENT NUMBER: 126:144107

ORIGINAL REFERENCE NO.: 126:27853a,27856a

TITLE: Preparation of 5-aminoalkv1-2-(2-alkoxyphenv1)pyrroles having affinity for dopamine D3 receptors and their

use in the treatment of psychoses

Watts, Eric Alfred

INVENTOR(S): Smithkline Beecham Plc, UK; Watts, Eric Alfred PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 33 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|------------------------|------------------|-------------------------|----------------|
| | | | |
| WO 9700243 | A1 19970103 | WO 1996-EP2498 | 19960607 < |
| W: JP, US | | | |
| RW: AT, BE, CH, | DE, DK, ES, FI, | FR, GB, GR, IE, IT, LU, | MC, NL, PT, SE |
| EP 832064 | A1 19980401 | EP 1996-920811 | 19960607 < |
| R: BE, CH, DE, | ES, FR, GB, IT, | LI, NL | |
| JP 11507657 | T 19990706 | JP 1996-502608 | 19960607 < |
| PRIORITY APPLN. INFO.: | | GB 1995-12129 | A 19950615 |
| | | WO 1996-EP2498 | W 19960607 |
| OTHER SOURCE(S): | MARPAT 126:14410 | 7 | |

AB The title compds. [I; R1 = C1-4 alkyl; R3 = (un)substituted Ph, 5- or 6membered heterocyclic aromatic group; R2, R4, R5 = H, halo, C1-4 alkyl, etc.; Y = 1-(1-piperidinyl)ethyl, N-substituted 2-pyrrolidinyl, 2-piperidinyl, etc.], dopamine D3 antagonists with potential for the treatment of schizophrenia, were prepared and formulated. Thus, treatment of Nacetylpiperidine with POC13 followed by addition of 2-[(5-ethylsulfonyl-2methoxy-4-phenyl)phenyl]-1H-pyrrole in C1CH2CH2Cl, and treatment of the reaction mixture with NaBH4 afforded 38% I [R1 = Me; R2, R5 = H; R3 = Ph; R4 = EtSO2; Y = 1-(1-piperidinv1)ethv1| which showed IC50 of 4 nM at the human D3 receptor.

REFERENCE COUNT: THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN 1996:724140 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER:

ORIGINAL REFERENCE NO.: 125:63853a,63856a

TITLE: Optically active liquid crystal compound containing

deuterium atoms for display device

Koizumi, Yasuyuki; Demus, Dietrich; Matsui, Shuichi; INVENTOR(S):

Miyazawa, Kazutoshi; Sekiguchi, Yasuko; Nakagawa, Etsuo

PATENT ASSIGNEE(S): Chisso Corp., Japan SOURCE: Eur. Pat. Appl., 88 pp. CODEN: EPXXDW

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

DATE APPLICATION NO. DATE PATENT NO. KIND EP 735015 A2 19961002 EP 1996-300655 19960130 <--EP 735015 A3 19970611 R: CH, DE, FR, GB, IT, LI JP 1995-347773 JP 08325174 A 19961210 19951214 <--JP 1995-100105 A 19950331 PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 125:343103

AB The title compound is represented by the formula I (R1, R2 = H, cyano, halogen, or alkyl or halogenated alkyl with 1-20 C atoms with the proviso that ≥1 methylene group in the alkyl group may be substituted by O, S, CH=CH, C.tplbond.C, CO, CF=CF, CF2, or a cycloalkane or cycloalkene ring with 3-5 C atoms; Z1-3 = a covalent bond or an alkylene group with 1-4 C atoms with the proviso that ≥1 methylene group in the alkylene group may be substituted by 0, S, CH=CH, C.tplbond.C, CO, CF=CF, CF2, or a cycloalkane or cycloalkene ring with 3-5 C atoms; m, n = 0 or 1; rings A, B, C, D = a benzene, bicyclo[1.1.1]pentane, bicyclo[2.1.1]hexane, bicyclo[2.2.1]heptane, bicyclo[2.2.2]octane, naphthalene, 1,2,3,4-tetrahydronaphthalene, perhydronaphthalene, fluorene, phenanthrene, 9,10-dihydrophenanthrene, indane, indene, cycloalkane, or cycloalkene ring which may be substituted by O, S, or N atoms) with optically active C atoms bonded to D atoms. With the use of the title compound, it is possible to prepare a liquid crystal composition with controlled pitch and spiral direction without the use of a chiral dopant.

L6 ANSWER 36 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1996:616620 CAPLUS Full-text DOCUMENT NUMBER: 125:275529

ORIGINAL REFERENCE NO.: 125:51521a,51524a

TITLE: Process for the stereospecific synthesis of

azetidinones

INVENTOR(S): Thiruvengadam, Tiruvettipuram K.; Tann, Chou Hong;

Mcallister, Timothy L.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S., 16 pp., Cont.-in-part of U. S. Ser. No. 179,008.

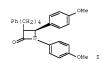
CODEN: USXXAM Patent

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

| US | 5561227 | | A | 19961001 | US 1994-265466 | 19940623 < | _ |
|----------|-----------|---------|------|--------------|-------------------------|-------------|---|
| CA | 2114007 | | A1 | 19930204 | CA 1992-2114007 | 19920721 < | _ |
| CA | 2114007 | | C | 20051220 | | | |
| AU | 9223980 | | A | 19930223 | AU 1992-23980 | 19920721 < | _ |
| AU | 658441 | | B2 | 19950413 | | | |
| ZA | 9205487 | | A | 19930331 | ZA 1992-5487 | 19920721 < | _ |
| EP | 596015 | | A1 | 19940511 | EP 1992-916790 | 19920721 < | _ |
| EP | 596015 | | B1 | 19971001 | | | |
| | R: AT, | BE, CH, | DE, | DK, ES, FR, | GB, GR, IT, LI, LU, MC | , NL, SE | |
| JP | 06508637 | | T | 19940929 | JP 1992-502964 | 19920721 < | _ |
| JP | 2525125 | | B2 | 19960814 | | | |
| US | 5306817 | | A | 19940426 | US 1992-962768 | 19921019 < | _ |
| LV | 10429 | | В | 19950820 | LV 1992-550 | 19921229 < | _ |
| LT | 3369 | | В | 19950825 | LT 1992-261 | 19921229 < | _ |
| US | 6093812 | | A | 20000725 | US 1994-179008 | 19940107 < | _ |
| NO | 9400221 | | A | 19940121 | NO 1994-221 | 19940121 < | _ |
| PRIORITY | APPLN. I | NFO.: | | | US 1991-734426 | B2 19910723 | |
| | | | | | US 1991-734652 | B2 19910723 | |
| | | | | | US 1992-962768 | A3 19921019 | |
| | | | | | US 1994-179008 | A2 19940107 | |
| | | | | | WO 1992-US5972 | W 19920721 | |
| OTHER SO | OURCE(S): | | CASE | REACT 125:27 | 5529; MARPAT 125:275529 | | |



AB Azetidinone derivs. are prepared stereospecifically by using a chiral oxazolidinone auxiliary. Thus, (R)-(+)-4-benzyl-2-oxazolidinone was acylated with Ph(CH2)4COC1, followed by aldol condensation with 4-MeOC6H4CH0, transamidation with 4-MeOC6H4NH2, and cyclization with EtO2CN:NCO2Et-PBu3 to give the azetidinone I.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 37 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1995:881320 CAPLUS Full-text

DOCUMENT NUMBER: 123:285781
ORIGINAL REFERENCE NO.: 123:51211a,51214a

TITLE: Preparation of (pyranylbenzyloxy)coumarins and analogs

as leukotriene biosynthesis inhibitors

INVENTOR(S): Fortin, Rejean; Girard, Yves; Grimm, Erich;

Hutchinson, John; Scheigetz, John PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.

SOURCE: Can. Pat. Appl., 85 pp.

CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|------------------------|--------|--------------|----------------------|---|------------|
| | | | | _ | |
| CA 2125824 | A1 | 19941224 | CA 1994-2125824 | | 19940614 < |
| CA 2125824 | C | 20060711 | | | |
| US 5424320 | A | 19950613 | US 1993-81528 | | 19930623 < |
| PRIORITY APPLN. INFO.: | | | US 1993-81528 | Α | 19930623 |
| OTHER SOURCE(S): | CASREA | CT 123:28578 | 1; MARPAT 123:285781 | | |
| GI | | | | | |

AB Title compds. [I; R = heterocyclyl group Q; R1 = H, OH, alkyl(oxy); R2, R4 = H, alkyl; R1R2 = 0; R3 = H, (hydroxy)alkyl, alkoxyalkyl; R1R3 = (saturated)(oxa)alkylene; R7 = H, OH, alkyl(oxy), etc.; R9 = H, halo, OH, alkyl(oxy), etc.; R10 = H, alkyl, heteroaryl, etc.; R11,R12 = H, alkyl; R11R12 = bond; X1 = O, SO0-2, CH2; X2 = O, S, CH2, etc.; X3 = O, SO0-2, OCH2, CH2O, etc.; Z = (hetero)arylene; Z1 = CH(R5)m; R5 = H, OH, alkyl(oxy); m = 0 or 1] were prepared as leukotriene biosynthesis inhibitors (no data). Thus, 2,4-(HO)2C6H3COPh was etherified by 3-(4-hydroxytetrahydropyran-4-yl)benzyl bromide (preparation given) and the product cyclocondensed with Ph3P:CH2CO2Me to give title compound II.

L6 ANSWER 38 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1995:14536 CAPLUS Full-text

DOCUMENT NUMBER: 122:72018

ORIGINAL REFERENCE NO.: 122:13491a,13494a

TITLE: Heteroarylnaphthalenes as inhibitors of leukotriene

biosynthesis

INVENTOR(S): Girard, Yves; Delorme, Daniel; Fortin, Rejean; Dube, Daniel; Hamel, Pierre; Lepine, Carol; Ducharme, Yves

PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.

U.S., 39 pp. Cont.-in-part of U.S. Ser. No. 906,067, SOURCE:

abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

| PA | TENT NO | | | KIN | D | DATE | | | APPI | ICAT | ION | NO. | | D | ATE | | |
|---------|----------|--------|-----|-----|-----|------|------|-----|------|------|------|-----|-----|------|------|-----|---|
| | | | | | _ | | | | | | | | | _ | | | |
| US | 530885 | 2 | | A | | 1994 | 0503 | | US 1 | 992- | 9368 | 07 | | 1 | 9920 | 827 | < |
| CA | 209906 | 1 | | A1 | | 1993 | 1230 | | CA 1 | 993- | 2099 | 061 | | 1 | 9930 | 623 | < |
| CA | 209906 | 1 | | C | | 2003 | 0819 | | | | | | | | | | |
| EP | 579304 | | | A1 | | 1994 | 0119 | | EP 1 | 993- | 2018 | 29 | | 1 | 9930 | 624 | < |
| | R: A | T, BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IE, | IT, | LI, | LU, | NL, | PT, | SE | |
| ZA | 930462 | 3 | | A | | 1993 | 1222 | | ZA 1 | 993- | 4623 | | | 1 | 9930 | 628 | < |
| AU | 934156 | 9 | | A | | 1994 | 0106 | | AU 1 | 993- | 4156 | 9 | | 1 | 9930 | 628 | < |
| WO | 940044 | 4 | | A1 | | 1994 | 0106 | | WO 1 | 993- | CA27 | 1 | | 1 | 9930 | 628 | < |
| | W: B | B, BG, | BR, | BY, | CZ, | FI, | HU, | KR, | KZ, | LK, | MG, | MN, | MW, | NO, | NZ, | PL, | |
| | R | o, RU, | SD, | SK, | UA, | US | | | | | | | | | | | |
| | RW: B | F, BJ, | CF, | CG, | CI | CM, | GA, | GN, | ML, | MR, | NE, | SN, | TD, | TG | | | |
| CN | 108790 | 7 | | A | | 1994 | 0615 | | CN 3 | 993- | 1095 | 18 | | 1 | 9930 | 628 | < |
| JP | 060878 | 47 | | A | | 1994 | 0329 | | JP 1 | 993- | 1855 | 27 | | 1 | 9930 | 629 | < |
| JP | 071161 | 73 | | В | | 1995 | 1213 | | | | | | | | | | |
| PRIORIT | Y APPLN | . INFO | . : | | | | | | US I | 992- | 9060 | 67 | | B2 1 | 9920 | 629 | |
| | | | | | | | | | US 1 | 992- | 9368 | 07 | | A 1 | 9920 | 827 | |
| OTHER S | OURCE (S |): | | MAR | PAT | 122: | 7201 | 8 | | | | | | | | | |

AB Compds. I [R1, R5 = H, OH, lower alkyl, lower alkoxy; R2 = H, lower alkyl, or together with R1 forms :O; R3 = H, lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl, or R1 and R3 may join to form mono-oxa, monocarbon bridge; R4, R6, R13 = H, lower alkyl; R7 = H, OH, lower alkyl, lower alkoxy, etc.; R8 = H, halo, lower alkyl, OH, lower alkoxy, CF3, CN, COR13; R9 = H, lower alkyl, lower alkoxy, hydroxy lower alkyl, etc.; R10, R11 = H, lower alkyl, lower alkoxy, hydroxy lower alkyl, lower alkoxy, etc.; X1, X2 = 0, C(R6)2 (one but not both of X1 or X2 is O); X3 = C(R6)20, OC(R6)2; Ar1 = arvlene-(R8)2 (arylene = phenylene, pyridylene, thiaylene); Ar2 = aryl-(R9)2 (aryl = 5membered aromatic ring with 1 O or S and 0-3 N, 5-membered aromatic ring with 1-4 N, 6-membered aromatic ring with 0-3 N, 2- or 4-pyranone, etc., with provisos)] are inhibitors of leukotriene biosynthesis. These compds. are useful as antiasthmatic, antiallergic, antiinflammatory, and cytoprotective agents. They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis and allograft rejection, and in preventing the formation of atherosclerotic plaques. Preparation of a large number of I and of intermediates therefor is included.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

DOCUMENT NUMBER: 120:198482

ORIGINAL REFERENCE NO.: 120:52604h,52605a

TITLE: Carbostvril derivatives and salts thereof.

anti-arrhythmic agents containing them, and their

preparation

INVENTOR(S): Tabusa, Fujio; Nagami, Kazuyoshi; Tsutsui, Hironori

PATENT ASSIGNEE(S): Higuchi, Yoshinari, Japan SOURCE: Pat. Specif. (Aust.), 148 pp.

CODEN: ALXXAP

DOCUMENT TYPE: Patent

LANGUAGE: Facent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|-----------------|------------|
| | | | | |
| AU 639529 | B2 | 19930729 | AU 1991-70939 | 19910211 < |
| AU 9170939 | A | 19910509 | | |
| PRIORITY APPLN. INFO.: | | | AU 1991-70939 | 19910211 |
| OTHER SOURCE(S): | MARPAT | 120:298482 | | |
| GI | | | | |

(R³)_n

AB Carbostyrils and dihydro derivs. I [R1 = H, alkyl, alkenyl, alkynyl, phenylalkyl, carboxyalkyl, phenylalkoxyalkyl, mindoalkyl, saturated heterocyclylcarbonylalkyl, R2 = N3, azidocarbonyl, phthalimido, pyrrolidinyl, pyridyl, various (un)substituted NH2 groups, piperidinyl, quinuclidinyl; R3 = alkyl, haloalkyl, alkoxy, OH, halo, CO2H, Fh, phenylalkoxy, alkanyloxy, alklanoylalkoxy, alkylaminocarbonylalkoxy, n = 0, 1, 2; optional 3,4-double bondl, some of which are novel and/or prepared, are useful as antiarrhythmics. For example, cyclization of 2-[2-(4-benzyl-1-piperidinyl)acetyl]amino-3-methylbenzaldehyde by NaOEt in refluxing EtOH gave I [R1 = H, R2 = 8-Me, R3 = 3-(4-benzyl-1-piperidinyl); A3 present], isolated as the HCl salt. Various I were active at 3-300 µmol doses when tested against elec.-stimulated contractions of isolated feline cardiac muscle samples. Approx. 170 I (free bases and/or salts) are listed with phys. data, and antiarrhythmic test data are given for 27 compds.

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